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NEWS 4
         May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5
         May 27
                 New UPM (Update Code Maximum) field for more efficient patent
                 SDIs in CAplus
NEWS
                 CAplus super roles and document types searchable in REGISTRY
     6 May 27
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         Jun 28
                 Additional enzyme-catalyzed reactions added to CASREACT
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                 resulting in a closer connection to BABS
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         Jul 30
                 BEILSTEIN on STN workshop to be held August 24 in conjunction
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                 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
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                 fields
NEWS 12
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                 STN User Update to be held August 22 in conjunction with the
                 228th ACS National Meeting
        AUG 02
                 The Analysis Edition of STN Express with Discover!
NEWS 14
                 (Version 7.01 for Windows) now available
                 Pricing for the Save Answers for SciFinder Wizard within
NEWS 15 AUG 04
                 STN Express with Discover! will change September 1, 2004
NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
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=> fil reg COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 10:45:22 ON 20 AUG 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ${\tt ZIC/VINITI}$ data file provided by ${\tt InfoChem.}$

STRUCTURE FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9 DICTIONARY FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Program Files\Stnexp\Queries\10049288.str

chain nodes : 6 8 9 10 12 13 ring nodes : 1 2 3 4 5 ring/chain nodes : 7 11 chain bonds : 4-9 1-6 2-7 3-8 9-10 9-12 10-11 10-13 ring bonds : 1-2 1-5 2-3 3-4 4-5 exact/norm bonds : 1-2 1-5 2-3 3-4 4-5 4-9 9-10 10-11 10-13 exact bonds : 1-6 2-7 3-8 9-12

Match level:

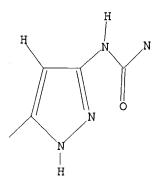
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:45:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 95 TO ITERATE

100.0% PROCESSED 95 ITERATIONS 19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1316 TO 2484
PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:45:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1800 TO ITERATE

100.0% PROCESSED 1800 ITERATIONS 371 ANSWERS SEARCH TIME: 00.00.01

L3 371 SEA SSS FUL L1

=> s 13 and caplus/lc 38032970 CAPLUS/LC

L4 359 L3 AND CAPLUS/LC

=> s 13 not 14

L5 12 L3 NOT L4

=> d 15 1-12

Page 5 08/20/2004

ANSWER 1 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 705269-97-2 REGISTRY
Benzamide, 4-fluoro-N-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)
3D CONCORD
C12 H11 F N4 02
Chemical Library
STN Files: CHEMCATS

$$\underset{\mathsf{Me}}{\mathsf{H}} \overset{\mathsf{N}}{\underset{\mathsf{NH}-\mathsf{C}-\mathsf{NH}-\mathsf{C}}{\mathsf{NH}-\mathsf{C}}} \overset{\mathsf{O}}{\underset{\mathsf{I}}{\mathsf{I}}} \overset{\mathsf{F}}{\underset{\mathsf{I}}{\mathsf{I}}} \overset{\mathsf{F}}{\underset{\mathsf{I}}{\mathsf{I}}}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 RN CN

ANSWER 3 OF 12 REGISTRY COFYRIGHT 2004 ACS on STN 497161-16-7 REGISTRY
Benzamide, N-[[(5-methyd-lH-pyrazol-3-y/)]amino]carbonyl]-3-{1,1,2,2-tetrafluoroethoxy}- (SCI) (CA INDEX NAME)
SD CONCORD
C14 N12 F4 N4 03
Chemical Library
STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 2 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 705269-94-9 REGISTRY Benzamide, N-[((5-methyl-1H-pyrazol-3-yl)amino]carbonyl]- (9CI) (CA INDEX NAME) 3D CONCORD C12 H12 N4 O2 Chemical Library STN Files: CHEMCATS

FS MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 4 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 497161-15-6 REGISTRY
Benzamide, 2-fluoro-N-[[(5-methyl-lH-pyrazol-3-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)
3D CONCORD
C12 H11 F N4 O2
Chamical Library
STN Files: CHEMCATS

FS MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

Page 6 08/20/2004

ANSWER 5 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 497161-14-5 REGISTRY
Benzamide, 2,4-difloron-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]-(9CI) (CA INDEX NAME)
3D CONCORD
C12 H10 F2 N4 O2
Chemical Library
STN Files: CHEMCATS

$$\underset{\mathsf{Me}}{\overset{\mathsf{N}}{\bigvee}} \underset{\mathsf{NH}-\mathsf{C}-\mathsf{NH}-\mathsf{C}}{\overset{\mathsf{O}}{\bigvee}} \underset{\mathsf{F}}{\overset{\mathsf{I}}{\bigvee}} \overset{\mathsf{F}}{\bigvee}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 7 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 497154-62-8 REGISTRY
Benzamide, 3-chloro-N-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]- (9CI)
(CA INDEX NAME)
3D CONCORD
612 H11 C1 N4 02
Chamical Library
STN Files: CHEMCATS

FS MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 6 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 497154-63-9 REGISTRY Enzamide, N-[([5-methyl-1H-pyrazol-3-yl)amino]carbonyl]-4-trifluoromethyl)- (GCI) (CA INDEX NAME) 3D COMCORD (C13 H11 F3 N4 02 Chemical Library STN Files: CHEMCATS

FS MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 RN CN

ANSWER 8 OF 12 REGISTRY COPYRIGHT 2004 ACS ON SIN
392708-05-3 REGISTRY
Urea, N. N'-1,6-hexanediylbip[N'-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA
INDEX NAME)
3D CONCORD
C26 H30 N8 02
Chemical Library
STN Files: CHEMCAIS

FS MF SR LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

Page 7 08/20/2004

ANSWER 9 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 366492-53-7 REGISTRY Urea, N-[1-methyl-1-[3-(1-methylethenyl)phenyl]ethyl]-N'-(5-methyl-1H-pyrazol-3-yl)- (SCI) (CA INDEX NAME) 3D COMCORD C17 H22 N4 O Chemical Library SIN Files: CHEMCATS L5 RN CN

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 11 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 256525-82-3 REGISTRY Urea, N-(4-chlorophenyl)-N'-[5-[4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3-yl]- (SCI) (CA INDEX NAME) 3D CONCORD C21 H14 C1 F3 N4 O S CAS Client Services

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 10 OF 12 REGISTRY COPYRIGHT 2004 ACS ON STN 256529-50-7 REGISTRY
Urea, M-ethyl-N'-[5-[4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3-yl]- (9C1) (CA INDEX NAME)
3D CONCORD
C17 H15 F3 N4 O S
CAS Client Services

FS MF SR

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ANSWER 12 OF 12 REGISTRY COPYRIGHT 2004 ACS on STN 229001-88-1 REGISTRY Benzamide, 3-[4-[[[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME) C22 H25 NS 03 COM CA

FS MF CI SR

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> fil caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 181.09 181.30

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:46:07 ON 20 AUG 2004
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FILE COVERS 1907 - 20 Aug 2004 VOL 141 ISS 8 FILE LAST UPDATED: 18 Aug 2004 (20040818/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 10:45:12 ON 20 AUG 2004)

FILE 'REGISTRY' ENTERED AT 10:45:22 ON 20 AUG 2004

L1 STRUCTURE UPLOADED

L2 19 S L1

L3 371 S L1 FULL

L4 359 S L3 AND CAPLUS/LC

L5 12 S L3 NOT L4

FILE 'CAPLUS' ENTERED AT 10:46:07 ON 20 AUG 2004

=> s 14

L6 38 L4

=> d ibib abs hitstr 1-38

Page 9 08/20/2004

L6 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2004:369129 CAPLUS

DOCUMENT NUMBER: TITLE: 141:81627

141:8162/ SDOCKER: A Method Utilizing Existing X-ray Structures

AUTHOR(S): CORPORATE SOURCE:

SDOCKER: A Method Utilizing Existing X-ray Structures TO Improve Docking Accuracy Wu, Gucsheng: Vieth, Michal Lilly Research Labs, Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, 46285, USA Journal of Medicinal Chemistry (2004), 47(12), 3142-3148 SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society

Journal

LANGUAGE:

PUBLISHER:

MINISTER: American Chemical Society

MINENT TYPE: Journal

GUAGE: English

This paper introduces a new strategy for structure-based drug design that
combines high-quality docking with data from existing ligand-protein
coccystal x-ray structures. The main goal of SDOCKER, a new algorithm
that implements this strategy, is docking accuracy improvement. In this
new paradigm, simulated annealing mol. dynamics is used for conformational
sampling and optimization and an addnl. similarity force is applied on the
basis of the positions of ligands from x-ray data that focus the sampling
on relevant regions of the active site. Because the structural
information from both the ligand and protein active site is included, this
approach is more effective in finding the optimal conformation for a
ligand-protein complex than the classical docking or similarity overlays.
Interestingly, it was found that a 3D similarity-only approach gives
comparable docking accuracy to the regular force field approach used in
classical docking, given the final structures are minimized in the
presence of the protein. The combination of both, as implemented in
SDOCKER, is shown here to be more accurate. A significant improvement in
docking accuracy has been observed for three different test systems.
Specifically an improvement of 10%, 17.5%, and 10% is seen for 37 HN-1
protease, 32 thrombin, and 23 COK2 ligands, resp., compared to docking
using the force field alone. In addition, SDOCKER's accuracy performance
dependence on the similarity template is discussed. The strategy of
utilizing existing ligand x-ray information should prove effective in
light of the multitude of structures available from structural genomics
approaches.
36008-23-3
RL: PAC (Pharmacological activity); PRF (Properties), THU (Therapeutic
use), EIOL (Biological study); USES (Uses)

ΙT

360068-28-3

RI. PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (SDOCKER is method utilizing existing x-ray structures to improve docking accuracy)
360068-25-3 CAPLUS
Urea, N-[5-(2-pyrrolidinyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2004 ACS ON STN ACCESSION NUMBER: 2004:220307 CAPLUS DOCUMENT NUMBER: 140:270555
TITLE: Preparation 140:270555
Preparation of diarylurea derivatives and their use as chloride channel blockers
Dahl, Bjarne H.; Christophersen, Palle, Engsig,
Michael Thyrring; Karsdal, Morten Asser; Foged, Niels
Taekker; Jensen, Flemming Reissig
Neurosearch A/s, Den.
PCT Int. Appl., 65 pp.
CODEN: PIXXD2

INVENTOR(S):

PATENT ASSIGNEE (S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English 1

PATENT NO. KIND DATE APPLICATION NO. DATE A2 A3 20040318 WO 2003-DK575 WO 2004022529 20030904 WO 2004022529 20040513

DK 2002-1306 DK 2002-1310 A 20020905 A 20020905

1

OTHER SOURCE(S): MARPAT 140:270555

ANHCONRID [A = (un)substituted cyclohexyl, Ph, pyridyl, thienyl, naphthyl, indolyl, pyrazolyl, oxcpyrrolidinyl, Rl = H, D = (un)substituted Ph, cyclohexyl, 2-pyridinyl, CHR2COZH; R2 = (un)substituted Ph; R1D = (HCOZH)CHZCHR3CH2; R3 = H, OH! Were prepared for use as chloride channel blockers in the treatment of bone metabolic diseases, diseases responsive to modulation of the mast cell or basophil activity, diseases responsive to inhibition of angiogenesis, or sickle cell anemia (no data). Thus, 4-BrC6GH4Me was converted to 4-MecCGH4B(OH)2, which was cxidized to 4-MeCCCGH4B(OH)2 and amidated to 4-Me2NCCGH4B(OH)2. Coupling with 5,2-Br(H2N)CGH3CN gave 4,3-H2N(NC)CGH3CGH4CONMe2-4 which was cyclized to the tetrazole and treated with 3,5-(F3C)2CGH3NCO to give the urea I. 674300-18-6F

L6 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); FREFP (Preparation); USES (Uses)
(prepn. of diarylurea derivs. and their use as chloride channel
blockers)
674300-18-6 CAPLUS
Benzoic acid, 4-chloro-2-[[[(5-phenyl-1H-pyrazol-3yl)amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

Page 10 08/20/2004

L6 ANSWER 3 OF 38
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
TITLE:
TAKEN ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:

CAPLUS COPYRIGHT 2004 Acs on STN
2004:182368 CAPLUS
110:229401
Three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands Come, Jon Hr. Becker, Frank; Kley, Nikolai A.;
Reichel, Christoph
U.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S. Ser. No. 91,177.
CCDEN: USXXCO
Patent
LANGUAGE:
Patent
LANGUAGE:
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DOCUMENT TIPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE				
US 2004043388	A1	20040304	US 2002-234985		20020903				
US 2003165873	A1	20030904	US 2002-91177		20020304				
PRIORITY APPLN. INFO.;			US 2001-272932P	P	20010302				
				P	20010323				
			05 2001-5254571	P	20011015				
			US 2002-91177	A2	20020304				

The invention provides compns. and methods for isolating ligand-binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Preparation of compds., e.g a methotrexate moiety by

sed

By a polyethylene gycol moiety to dexamethasone, is described.

322689-01-00, conjugates 322689-07-60, conjugates
666838-82-00, conjugates
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(three hybrid assay system for isolating ligand-binding polypeptides
and for isolating small mol. ligands)

32269-01-0 CAPLUS
Urea, N-[5-[[[(25)-5-chloro-2,3-dihydro-1H-inden-2-y]]amino]methyl]-1Hpyrazol-3-yl]-N-[(955)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L6 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-07-6 CAPLUS
Urea, N-[5-[[[(25)-5-chloro-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1Hpyrazol-3-y1]-N'-[(9hR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1a]isoindol-9-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

L6 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DCCUMENT NUMBER:
11TLE:
10(1122817
11TLE:
11TLE:
11TLE:
1201 Antagonist-antichesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders
11TLE:
1201 Antagonist-antichesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders
1202 Antagonist-antichesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders
1202 Antagonist-antichesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders
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1202 Antagonist-antichesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders
1202 Antagonist-antichesity agent combination for the prevention and treatment of diabetes, obesity and obesity-related disorders
1202 Antagonist-antichesity agent combination for the prevention and treatment of diabetes, obesity and obesity-related disorders
1202 Antagonist-antichesity agent combination for the prevention and treatment of diabetes, obesity and obesity and obesity and obesity agent combination for the prevention and treatment of diabetes, obesity and o

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	ATENT				KIN		DATE			APPL	ICAT	ION	NO.		D	ATE	
						-									-		
WC	2004	0090	15		A2		2004	0129		WO 2	003-	US22	077		2	0030	714
WO	2004	0090	15		A3		2004	0304									
	W:	AE,	AG,	AL.	AM.	AT,	AU,	AZ.	BA.	BB.	BG.	BR.	BY.	BZ.	CA.	CH.	CN.
		co.	CR,	CU.	CZ.	DE.	nr.	nw.	DZ.	EC.	FF	FS	TT.	GB	GD,	GE.	GH
			HR,														
			LU,														
		PH,	PL,	PT,	RO,	RŲ,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,	TN,	TR,
		TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM.	ZW.	AM,	AZ,	BY.	KG.
			MD,										- •				
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										US 20	JU2-	4179	99P	1	20	0021	711
HER S	OURCE	(S):			MARI	PAT	140:	1228	17								

R SOURCE(S): MARPAT 140:122817

The invention discloses compns. comprising a NPYS antagonist and an antiobesity agent, useful for the treatment and prevention of diabetes, obesity, and obesity-related disorders. The invention also discloses methods of treating or preventing obesity and obesity-related disorders in a subject in need thereof by administering a composition of the invention.

invention further discloses pharmaceutical compns., medicaments, and kits useful in carrying out the methods.
32832-23-1 328232-25-3 478014-44-7
RL: PAC (Pharmacological activity) THU (Therapeutic use), BIOL (Biological study) USES (Uses)
(NPYS antagonist-antichesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders)
328232-23-1 CAPUS
Spire[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
3-oxo-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

328232-25-3 CAPLUS
Spiro(isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
3-oxo-N-[5-(3-quinolinyl)-|H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

478014-44-7 CAPLUS Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-y1]-3-oxo-(9CI) (CA INDEX NAME)

DOCUMENT TYPE:
LANGUAGE:
PATENT NO.

DOCUMENT INFORMATION:
PATENT NO.

PATENT NO.

PATENT NO.

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PATENT INFORMATION: *** WO 2004004720 A1 20040115 WO 2003-GB2864 20030703
*** AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CM, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, KR, HU, ID, IL, IN, IS, JF, KE, KG, KP, KR, KZ, LC, LK, LK, LS, LT, LU, LV, MA, MD, MG, MK, MM, MY, MY, MZ, NI, NO, NZ, OM, FG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TA, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, XZ, MD, RU

RW: GH, GM, XE, LS, MW, HZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, II, LU, MC, NL, FT, RO, SE, SI, SK, TS, FF, BJ, CF, CG, CI, CM, GA, GM, GW, ML, MT, NE, SN, TD, TG

PRIORITY APPLN. INFO::

OADONAL COMMANDED TO APPLICATION NO. DATE.

GB 2002-15383 US 2002-393121P GB 2002-26149

20020703 20020703 20021108

OTHER SOURCE(S): MARPAT 140:77038

Title compds. I [X-Y = CR2-CR3, CR2-N; R1 = H, halo, amino, etc.; R2-3 = H, alkyl, aryl, etc.; R4 = carboaryl, hateroaryl; R5 = halo, amino, carboxamido, etc.] are prepared For instance, 2-amino-3-benzyloxypyridine is prepared by alkylation of 2-amino-3-dyckoxypyridine with benzyl chloride. A related example, 2-amino-3-[2-phenylbenzyloxy]pyridine has IC50 A related example, 2-amino-3-[2-phenylbenzyloxy]pyridine has IC50 < ameliorated by inhibiting p38 MAP kinase.

642064-52-49, 2-[2-Chloro-5-[[I5-(tett-buty])pyrazol-3-yl]amino]carbonyl]amino]benzyloxy]pyrazine 642085-03-89, N-(5-text-Butyl-ZH-pyrazol-3-yl])-N-[4-Flooro-3-((Ipyridin-3-yl)oxy)methyl)phenyl]-N-(5-text-Butyl-ZH-pyrazol-3-yl)oxy)methyl)phenyl]-N-(5-fenty-H-pyrazol-3-yl)varably)phenyl]-N-(5-fenty-H-pyrazol-3-yl)varably)phenyl]-N-(5-fenty-H-pyrazol-3-yl)vrea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)

(preparation of 3-[heteroarylmethoxy)pyridines and their analogs as p38

L6 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued) PAGE 1-A

PAGE 2-A

ANSWER 5 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continuing Kinase inhibitors for treatment of arthritis) 642084-52-4 CAPLUS Urea, N-[4-chloro-3-[(pyrazinyloxy)methyl]phenyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

642085-03-8 CAPLUS
Urea, N-[4-chloro-3-[(3-pyridinyloxy)methyl]phenyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

642085-44-7 CAPLUS
Urea, N-[4-fluoro-3-[(pyrazinyloxy)methyl]phenyl]-N'-(5-phenyl-1H-pyrazol-3-yl)-(921) (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

Page 12 08/20/2004

16 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2003:913146 CAPLUS

DOCUMENT NUMBER: 139:395928

TITLE:

INVENTOR (S):

139:395928
Preparation of pyrazolylcarboxamides with donor-acceptor-donor structure for the treatment, diagnosis and prophylaxis of diseases in which abnormal protein structures occur Schrader, Thomasy Riesner, Detleve Rzepecki, Petra, Nagel-Steger, Luitgard; Wahner, Mark; Kirsten, Christian; Molt, Oliver; Zadmard, Reza, Aschermann, Kartia Christian; Molt, Oliver; Zadmard, Reza; Aschermann, Katja Transmit Gesellschaft fuer Technologietransfer mbH, Germany PCT Int. Appl., 79 pp. CODEN: PIXXD2 Patent German

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA:	TENT	NO.			KIN	Ď	DATE			APPL	ICAT	ION	NO.		D	ATE	
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	WO	2003	0954	29		A1		2003	1120		WO 2	003-	DE15	00		2	0030	509
		W:	AE,	AG,	AL,	AU,	BA,	BB,	BR,	BZ,	CA,	CN,	CO,	CR,	CU,	DM.	DZ.	EC.
								IN,										
			MG,	MK,	MN,	MX,	NO,	NZ,	OM,	PH,	PL,	SC,	SD,	SG,	TN,	TT,	UA,	US.
			UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΧZ,	MD,	RU.	TJ.	TM
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,
			NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
			G₩,	ML,	MR,	NE,	SN,	TD,	TG									
	DE	1022	1052			A1		2003	1204		DE 2	002-	1022	1052		2	020	510
0	RITY	APP:	LN.	INFO	.:					1	DE 2	002-	1022	1052	- 2	A 2	0020	510

Pyrazolylcarboxamides with a donor-acceptor-donor structure with donor-acceptor distances of 3.5-4.0 Å and acceptor-donor distances of 2.6-2.9 Å and which inhibit the formation of β -amyloid plaques and dissolve those already formed, were prepared for use in treating diseases in which abnormal protein folding occurs, such as Alzheimer's and prion diseases. These compds, identify peptides and proteins having a β -pleated sheet structure, form stable complexes therewith, and prevent the aggregation thereof into β -amyloid plaques. In addition, they decompose already existing β -amyloid plaques. Thus, 3-amino-1-tert-butoxycarboxyl-5-methyl-1H-pyrazole was treated with mc(ClCO) 2G6H4 and deblocked to give the diamide I which inhibited β -amyloid plaque formation by $\lambda\beta\{1-42\}$ at 10mM.

L6 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2003:732768 CAPLUS

140:128610

140:128610
Aminopyrazole oligomers for β-sheet stabilization of peptides
Rzepecki, P.; Wehner, M., Molt, O.; Zadmard, R.;
Harms, K.; Schrader, T.
Philipps-Universitaet Marburg, Department of Chemistry, Marburg, 35032, Germany
Synthesis (2003), (12), 1815-1826
CODEN: SYNTRF; ISSN: 0039-7881
Georg Thieme Verlag
Journal
Enolish DOCUMENT NUMBER: TITLE:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

A general concept for the stabilization of β-sheets by designed artificial ligands is introduced. The ligands have two key features: they contain acylated 3-aminopyrazoles with a DAD hydrogen bond donor and acceptor pattern, and they were synthesized as oligomers in order to multiply their hydrogen bond interactions with peptides in the β-sheet conformation. Dimeric maninopyrazoles, e.g. I, were accessible by reaction of the NI-Boc 3-amino-5-methylpyrazole with several acid dichlorides followed by a standard deprotection procedure with trifluoroacetic acid. For the oligomers, NI-PMB protection of new pyrazole amino acids followed by an iterative extension protocol with peptide coupling using PyClop or Mukaiyama's reagent led to the target compds. All protecting groups were subsequently removed in a final deprotection step with warm trifluoroacetic acid. Two dimeric key compds. I and II were examined by NMR at various temps, in NOESY expts, as well as by X-ray crystallog, in order to elucidate their conformational preference in solution and the solid state. The emerging picture was the same for all methods; both ligands adopt a flat conformation, Aggregation assays with the Frion protein and the Alzheimer's peptide Aβ (1-40) show highly promising results for some of the dimeric and oligomeric ligands at very low conces.

RI: SPN (Synthetic preparation), PREP (Preparation) (preparation of aminorwaxele dimeric and oligomeric ligands at very (preparation) of aminorwaxele dimeric and one of the dimeric and oligomeric ligands at very (preparation) of aminorwaxele dimeric and oligomeric ligands at very (preparation of aminorwaxele dimeric and oligomeric ligands at very (preparation of aminorwaxele dimeric and oligomeric ligands at very (preparation of aminorwaxele dimeric and oligomeric ligands at very (preparation of aminorwaxele dimeric and oligomeric ligands at very (preparation) (preparation of aminorwaxele dimeric and oligomeric ligands at very (preparation) (preparation of aminorwaxele dimeric and oligomeric li

625395-93-5F RL: SPN (Synthetic preparation): PREP (Preparation) (preparation of aminopyrazole dimers and oligomers for β-sheet stabilization of peptides and their aggregation assays) 625385-93-5 CAPLUS Urea, N,N'-bis(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 6 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
425385-93-5P
RL: SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Freparation); USES (Uses)
(preparation of pyrazolylcarboxamides with donor-acceptor-donor structure for the treatment, diagnosis and prophylaxis of diseases in which abnormal protein structures occur)
625385-93-5 CAPLUS
Urea, N,N'-bis(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 9 THERE ARE SCITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 38 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 8 OF 38
ACCESSION NUMBER:
DOCUMENT NUMBER:
1171TLE:
11WENTOR(S):
1591ZS17997
LITURE:
1WENTOR(S):
1591ZS17997
Heat sensitive recording material
Henshall, John Barry; Taylor, James Philip
Ciba Specialty Chemicals Holding Inc., Switz.
FOURCE:
1501RCE:
1501R FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2003074285 Al 20030912 WC 2003-EP1900 20030225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CK, CN, CG, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GB, GH, GM, HR, MU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, CD, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SI, JT, JT, MT, NT, RT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, CM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, RE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, EF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

FRIGHITY APPLN. INFO:

EP 2002-405170 A 20020306

THE SOURCE(S):

MARPAT 139:237797

AB The present invention relates to a composition for heat sensitive recording material containing (a) a color former compound, (b) a developer, which is different from the stabilizer used as component (c), (c) a stabilizer, selected from the stabilizer used as component (c), (c) a stabilizer, selected from the stabilizer used as component (c), (c) a stabilizer, selected from the stabilizer used as component (c), (c) a stabilizer, selected from the stabilizer and selected from the stabilizer lates than 5t, based on the total weight of the composition The present invention relates to a heat sensitive recording material comprising this composition and the use of this composition as heat-sensitive colored image-forming layer in heat-sensitive materials.

I 14489-11-6 CAPLUS

CN Enzenesulfonamide, 4-methyl-N-[[(5-methyl-lH-pyrazol-3-yl) amino] carbonyl]
(SCI) (CA INDEX NAME) APPLICATION NO. PATENT NO. KIND

ANSWER 9 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 2003:656752 CAPLUS MENT NUMBER: 139:197392 ACCESSION NUMBER: DOCUMENT NUMBER: 139:197392
Preparation of N-carbamoyl nitrogen-containing fused ring compounds as mitochondrial benzodiazepine receptor (MRR) antagonists
Seko, Takuyar Katsumata, Seishir Kato, Masashir Manako, Jun-ichiror Ohmeto, Kazuyuki
Ono Pharmaceutical Co., Itd., Japan
PCT Int. Appl., 222 pp.
CODEM: PIXKD2 TITLE: INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Japanese LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	NO.		KIN	D	DATE			APPL	ICAT	DATE					
WO 200	WO 2003068753					A1 20030821			003-		20030213				
W:	AE, A	AG, AL,	AM,	AT,	ΑU,	AZ,	BA,	BB.	BG.	BR.	BY.	BZ.	CA.	CH.	CN.
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PRIORITY API			,	,				TP 2	002-	36341	1		A 21	1020	21.4
OTHER SOURCE			MARI	PAT	139:	1973		01 2	JUZ-,	3034	,			,020,	

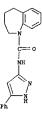
$$(R^2)_{n} \xrightarrow{\qquad \qquad \qquad N \qquad$$

The title compds. (I) [wherein the ring A = C5-8 monocyclic carbocyclic ring or 5- to 8-membered monocyclic heterocyclic ring containing 1 or 2 N, 1 or 2 O and/or one S atom, X = CH2, O, S, SO, SO2/ L1, L2 = a single bond, C1-4 alkylene, C2-4 alkenylene, provided that a sum total of C atoms in L1 and L2 is 3 or 4/ R1, R2 = each (un]substituted C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, ring B, OR5, NGR67, COR8, O2CR8, O2CR8, O2CR8, O2CR8, COZR6R67, COZR8, CONR6R7, SR9, SOR8, SOZR8, SOZRR6R7, halo, COZH, cyano, NO2, oxo, etc.; the ring B = (un]substituted C3-10 monocyclic or dicyclic carbocyclic ring or monocyclic or dicyclic carbocyclic ring or monocyclic or dicyclic heterocyclic ring containing 1 or 2 N, 1 or 2 O and/or one S atoms R5 = each (un]substituted C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, etc., R6, R7 = H, -D1-D2 (wherein D1 = a single bond, CO, CO2, or SO2/ D2 = each (un]substituted C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, ring B, R3 = H, ring B, each (un]substituted C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, R - H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, r C2-8 alkenyl, or C2-8 alkynyl, R - H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, R - H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, R - H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, R - H, C1-8 alkyl, C2-8 alkenyl, or C2-8 alkynyl, R - H, C1-8 alkyl, C2-8 alkenyl, or C2-8 al

L6 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2004 ACS ON SIN (Continued)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) optionally 1-3 N, one 0, and/or one 5 atoms; m, n = an integer of 0-4; W = 0, 5] were prepd. Also disclosed are mitochondrial benzodiazepine receptor (MER) antagonists comprising these compds. I and preventives and/or remedies for diseases caused by stress which comprise the above compds. I as the active ingredient. Recause of having an MBR antagonistic activity and inhibiting the prodn. of neurosteroids, the compds. I are useful as preventives and/or remedies for diseases caused by stress. The diseases induced, worsened, or exacerbated by stress include digestive organ disease, circulatory system disease, endocrine-metabolic disease, respiratory disease, nerve-muscular disease, skin disease, surgical disease, orthopedic disease, urinary organ-reproductive disease, surgical disease, orthopedic disease, urinary organ-reproductive disease, openation of disease, dental-oral surgical disease, and cancer. The digestive organ disease, include functional indigestion, stomach-ducednal ulcer, ulcerative colitis, irritable bowel syndrome, billary tract dyskinesia, esophagism, gastroatonia (atony of stomasoh), chronic hepatitis, and chronic pancreatitis. Thus, 250 mg Ph isocyanate was added to a soln, of 560 mg 5-(tert-butyldimethylsilyloxy)-2,3,4,5-tetrahydro-HH-1-benzazepine. The latter compd. (576 mg) was dissolved in 3 mL THF, treated with 2 mL 1 M BukNF, and stirred for 5 h to give, after silica gel chromatogu, 455 mg 5-hydroxy-1-phenylcarbamoyl-2,3,4,5-tetrahydro-HH-1-benzazepine. 1-(2,6-Dichlorophenylcarbamoyl)-2,3,4,5-tetrahydro-HH-1-benzazepine in thorm membrane sample with Ki of 0.09 mM. A tablet formulation conty, 8-fluoro-5-(4-fluorophenyl)-1-(4-hydroxyphenylcarbamoyl)-2,3,4,5-tetrahydro-HH-1-benzazepine was described. \$88577-29-2 RL: PAC (Pharmaclogical activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREP (Preparation), USES

58557-29-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(USes)
(preparation of carbamcyl nitrogen-containing fused ring compds. as
mitochondrial benzediazepine receptor antagonists for treating or
preventing diseases caused by stress)
58557-29-3 CAPUS
IH-1-Benzaepine-1-carboxamide, 2,3,4,5-tetrahydro-N-(5-phenyl-1H-pyrazol3-y1)- (SCI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 14 08/20/2004

L6 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ANSWER 10 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L6 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:3356201 CAPLUS
DOCUMENT NUMBER: 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:368988 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 138:36898 13 DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE CONH-

Pyrazolecarboxamides and -sulfonamides were prepared for use in the treatment of diseases through the inhibition of sodium ion flux through voltage-dependent sodium channels, especially pain and chronic pain. The state of the the

IT

amide I was prepared by amidation of the acid chloride with the amine and showed activity at the PN3 Na channel in the 4.1-10 µM range. S21930-91-96
RL: SPN (Synthetic preparation); THU (Therapeutic use), BIOL (Biological study), PREP (Preparation); USES (Uses)
(preparation of pyrazolecarboxamides and -sulfonamides as sodium channel

blockers)
521930-91-6 CAPLUS
Urea, N-[1-(4-chlorophenyl)-5-(trifluoromethyl)-1H-pyrazol-4-yl]-N'-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 38
ACCESSION NUMBER:
DOCUMENT NUMBER:
138:24705
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13 FAMILY ACC. NUM. COUNT: PATENT INFORMATION: DATE PATENT NO. KIND APPLICATION NO. DATE

PATENT NO.

US 2002188124
US 6326375
US 6335345
US 2022252371
US 6388077
ZA 2002000734
US 6462531
US 2002165391
US 2002165391
US 2002166391
US 2003076443
W: AE, AG, AL, DM, DZ, EC, LR, LT, LV, SC, SG, TJ, KG, KZ, MD, RW: GH, GM, KE, CH, CY, CZ, NL, PT, RO, GW, ML, MR, US 2003220499
US 6723847
PRIORITY APPLN. INFO:: JF 1999-233573 JP 2000-137692 US 2000-640784 US 2001-983598 JP 2000-247145 US 2002-92549 US 2002-92549 US 2002-226225 A 19990820 A 20000510 A3 20000818 A2 20011025 A3 20000817 A 20020308 A3 20020320 A3 20020823

OTHER SOURCE(S): MARPAT 138:24705

Title compds. [I; Arl = (substituted) aryl, heteroaryl, QAr2; Ar2 = (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N, (substituted) CH; X = CH; CH(OH); Y = (substituted) imino, O), were prepared Thus, N-tert-butoxycarbonyl-4-piperidone was refluxed 3 h with PhCHZNHZ in PhMe to give a residue which was stirred with o-iodobenzoyl chlorida and St3N in PhMe at 80° for 2 h to give N-benzyl-N-(1-tert-butoxycarbonyl-1,2,3,6-tetrahydropyridin-4-yl)-2-iodobenzamide. The latter was heated with Pd(OAc)2, Ph3P, K2CO3, and EtNCI in HeCN at 80° for 6 h to give 2-benzyl-1'-tert-butoxycarbonyl-1',6'-dihydrospiro[IH-isoindole-1,4'[5'H]-pyridine]-3[CH]-one. This was converted to N-(4-benzyyl-Hy)-3-oxospiro[isoindoline-1,4'-piperidine]-1'-carboxamide (II), which inhibited [125I] neuropeptide Y binding to NPY YS receptors with ICS = 1.2 AM. II drug formulations are given.

320232-23-IP 20232-23-3P 478014-44-TP

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Ricological study); PREP (Preparation); USES (Uses)

(preparation of spiroisoindolinepiperidinecarboxamides, AB

(Uses)
(preparation of spiroisoindolinepiperidinecarboxamides, spirocyclohexaneisobenzofurancarboxamides, spiroazaiscobenzofurancyclohexanecarboxamides, and related compds. as neuropeptide Y antagonists)
328232-23-1 CAPUS
Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
3-oxo-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 11 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

328232-25-3 CAPLUS
Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
3-oxo-N-(5-(3-quinolinyl)-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

478014-44-7 CAPLUS
Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]-3-oxo- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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L6 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:695980 CAPLUS
DOCUMENT NUMBER: 171/22544
Tricycloalkatrienes as non-nucleoside reverse transcriptase inhibitors
Lindstroem, Stefani Sahlberg, Christer Wallberg, Hansi Kalyanov, Genaidy; Oden, Lourdes; Naeslund, Lotts
PATENT ASSIGNEE(S): Medivir AB, Swed.
PCT Int. Appl., 106 pp.
CODEN: PIXXOL2
PATENT TYPE: Patent
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	ENT	NO.			KIND DATE							DATE						
WO	WO 2002070516				A2		2002	0912										
WO	WO 2002070516																	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI.	GB,	GD,	GE,	GH.	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK.	LR.	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW.	MX,	MZ,	NO.	NZ,	OM,	PH.	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM.	TN.	TR,	TT,	TZ.	
		UA,	UG,	UZ,	VN,	YU,	ZA,	2M,	ZW.	AM.	AZ.	BY.	KG.	KZ.	MD.	RU.	TJ.	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL.	sz.	TZ.	UG.	ZM.	ZW.	AT.	BE.	CH.	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR.	IE.	IT.	LU.	MC.	NL.	PT.	SE.	TR.	
		BF,	BJ,	CF,	CG,	CI,	CM,	GA.	GN.	GO.	GW.	ML.	MR.	NE.	SN.	TD.	TG	
EP	1373	261			A2		2004	0102		EP 2	002-	7483	29		2	0020	304	
							ES,											
		IE,	SI,	LT,	LV.	FI.	RO,	MK.	CY.	AL.	TR	,	,	,	,	****	,	
US	20030	6922	24		A1		2003	0410	,	JS 2	002-	9275	2		2	0020	305	
US	67168	350			B2		2004	0406										
US	20031	18726	56		A1		2003	1002	1	IS 21	003-	3770	57		2	ากรถ	228	
RIGRITY	APPI	N. 1	NFO.							SE 20	001-	733		٠,	1 2	1010	305	
												EP 232						
											002-9							

Title compds. I [Rl = 0, S; R2 - (un) substituted nitrogen-containing heterocycle, wherein the nitrogen is located at the 2 position relative to the (thic) urea bond; R3 - H, alkyl, R4-R7 - H, alkyl, alkenyl, alkynyl, haloalkyl, alkanyl, haloalkyl, alkanyl, haloalkyl, alkanyl, haloalkyl, haloakyl, haloakyl, haloalkyl, hydroxyalkyl, canolkyl, carboxyalkyl, cyanoalkyl, amino alkyloxylkyl, bydroxyalkyl, cyano, halo, hydroxy, keto; X - (CMR8) nD (CMR8) nD - NR9, 0, S, S(-0), S0; R8 - H, alkyl, haloalkyl; R9 - H, alkyl; n, m - 0, 1, 2] and prodrugs and pharmaceutically acceptable salts thereof, have utility as inhibitors of HIV-1 reverse transcriptase, particularly drug escape mutants. Thus, benzothiophene was treated with NZCMCOZEL to give Et cis-la, 6b-dihydro-IH-benzo[b] cyclopropa[d] thiophene-l-carboxylate which was hydrolyzed to the acid and treated with (Pho) 2PN3 and 2-amino-6-cyanopyridine to give the urea II. II had EDSO in the XIT assay with wild-type HIV-IIIIB of 2 nM.
457627-96-2P
RL: SPN (Synthetic preparation); USES (Uses)
 (tricycloalkatrienes as non-nucleoside reverse transcriptase inhibitors)
457627-96-2 CARUS
Urea, N - (S-cyclopropyl-IH-pyrazol-3-yl)-N'-[(1S,laR, 7bR)-4,7-diflunro-1,1a,2,7b-tetrahydrobenzo[b] cyclopropa[d] pyran-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 13 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 2002;658091 CAPLUS MENT NUMBER: 137:185488 ACCESSION NUMBER: DOCUMENT NUMBER: 137:185488
Preparation of N-aryl-N'-azolylureas
Tan, Zhulin; Song, Jinhua J.
Boehringer Ingelheim Pharmaceuticals, Inc., USA
PCT Int. Appl., 29 pp.
CODEN: PIXXD2
Patent TITLE: INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE W0 2002066442 A1 20020829 W0 2002-US2982 20020101
W: CA, JP, MX
RW: AT, EE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, TR
EP 1362037 A1 20031119 EP 2002-707665 20020101 TR
T2 20040624 JP 2002-565959
A1 20020905 US 2002-74895
US 2001-268841P
WO 2002-US2922
CASRRACT 137:185488; MARPAT 137:185488

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{Ph} \\ \end{array}$$

OTHER SOURCE(S):

Title compds. Were prepared Thus, 4-[2-(4-morpholiny1)ethoxy]-1-naphthaleneamine was N-acylated by ClCO2CH2CCl3 and the product amidated by 5-(1,1-dimethylethyl)-1H-pyrazole-3-amine to give, after N-arylation, title compound I. AB

451480-58-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of N-aryl-N'-azolylureas)

451480-58-3 CAFLUS

Urea, N-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-N'-[4-[2-(4-morpholinyl)-thoxy]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ANSWER 13 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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PAGE 2-A

REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 17 08/20/2004

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
137:150215
Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents
HATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:

DOCUMENT TYPE:

DATE

20010126 20010126

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE JP 2002220338 A2 20020809

JP 2001-18755 JP 2001-18755 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 137:150215

This invention relates to the general structures (I; Ar = N-containing

aromatic ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts

aronatic ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 - H, etc.) and their is so Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given. 122681-94-7 322683-91-0 322688-41-5 322688-64-5 322688-64-5 322688-64-5 322688-65-7 322688-57-3 322688-57-3 322688-57-3 322688-57-3 322688-57-3 322688-67-5 322688-67-5 322688-67-5 322688-67-5 322688-67-5 322688-67-5 322688-67-5 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688-73-3 322688

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-41-5 CAPLUS
Urea, N-[5-(hydroxymethyl)-IH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-IH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322688-44-8 CAPLUS
Urea, N-[5-[([1-ethylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
322689-49-6 322689-50-9 322689-51-0
322689-52-1 322689-50-2 322689-51-0
322689-52-4 322689-56-5 322689-57-6
322689-58-7 322689-58-8 322689-73-6
322689-71-4 322689-72-5 322689-73-6
322689-77-0 322689-78-8 322689-78-9
322689-77-0 322689-78-1 322689-78-9
322689-83-3 222689-81-6 322689-82-0
322689-83-8 322689-81-6 322689-83-0
322689-81-8 322689-81-8 322689-83-0
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322683-91-0 CAPLUS

Urea, N-(5-phenyl-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a)isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-45-9 CAPLUS
Urea, N-[5-[[(2-methylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tstrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322688-46-0 CAPLUS
Urea, N-[5-[[(2,2-dimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

 $\label{local_continuous} 322698-47-1 \quad CAPLUS \\ \mbox{Urea, } N-[5-[[(1-\mbox{dimethylpropyl}) amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) \quad (CA INDEX NAME) \\ \mbox{(CA)}$

322688-49-3 CAPLUS
Urea, N-[5-[[(2-methylphenyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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322608-53-9 CAPLUS Urea, N= $\{5-[(4-methylphenyl) amino] methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)$

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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322688-55-1 CAPLUS Urea, N-[5-[[[2-(1-methylethyl)phenyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322688-57-3 CAPLUS Urea, N-[5-[[3-(1-methylethyl]phenyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 2-A

322688-60-8 CAPLUS Urea, N-[5-[(2,3-dihydro-1H-inden-1-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-z]isoindol-9-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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322688-58-4 CAPLUS Urea, N-[5-[[(4-(1-methylethyl)phenyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 2-A

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322688-62-0 CAFIUS
Urea, N-[5-[([1-phenylethy1] amino]methy1]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

 $\label{lem:condition} 322689-64-2 \quad CAPLUS \\ Urea, \ N-\{5-[[(1-methylheptyl) amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) \quad (CA INDEX NAME)$

322688-65-3 CAPLUS Urea, N-(2,3,5,9h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5-[(1,1,3,3-tetramethylbutyl)amino]methyl)-1H-pyrazol-3-yl]-(9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

но-сн2

322688-70-0 CAPLUS Urea, N-[5-[[(2-hydroxy-1,1-dimethylethyl)amino]methyl]-lH-pyrazol-3-yl)- N'-(2,3,5-bb-etrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (SCI) (CA INDEX NAME)

322688-73-3 CAPLUS
Urea, N-[5-[([hexahydro-2-oxo-1H-azepin-3-y1)amino]methyl]-1H-pyrazol-3-y1)-M'-(2, 3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI)
(CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322688-67-5 CAPLUS Urea, N-[5-[([2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]imoindol-9-yl)- (9CI) (CA INDEX NAME)

(Continued)

322688-69-7 CAPIUS Urea, N-[5-[[[1-(hydroxymethyl)propyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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322688-74-4 CAPLUS
Urea, N-[5-[(cyclododecylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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RN 322688-75-5 CAPLUS
CN Urea, N-[5-[[[1-(hydroxymethyl)cyclopentyl]amino]methyl]-1H-pyrazol-3-yl]N'-[2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 322688-79-9 CAPLUS
CN Urea, N-[5-[(1-azabicyclo(2.2.2)oct-3-ylamino)methyl]-1H-pyrazol-3-yl]-N'(2.3,5,5)-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 322688-78-8 CAPLUS
CN Urea, N-[5-[[[1-{phenylmethyl}]-4-piperidinyl]amino]methyl]-1H-pyrazol-3-yl]-N"-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (SCI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-80-2 CAPLUS

CN Urea, N.=[[[(lR)-1-(hydroxymethyl)-2-methylpropyl]umino]methyl]-1Hpyrazol-3-yl]-"(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322688-81-3 CAPLUS
UTea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-yl)-N'-[5[[1-(3-thlenylmethyl)-4-piperidinyl]amino]methyl)-1H-pyrazol-3-yl]- (9CI)
(CA INDEX NAME)

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 $\label{eq:32268-82-4} $$ CAPLUS $$ Urea, N-[5-[1(2,3-dhydro-5,6-dimethoxy-1H-inden-2-y1]amino]methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9GI) $$ (CA INDEX NAME) $$$

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-87-9 CAPLUS
Urea, N-[5-[1(2,3-dihydro-5-methoxy-1H-inden-2-y1)amino]methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-y1)- (9CI)
(CA INDEX NAME)

322688-92-6 CAPLUS Urea, N-[5-[[(5-fluoro-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-84-6 CAPLUS
Urea, N-[5-[1(5-chloro-2,3-dihydro-1H-inden-2-y1)amino]methyl]-1H-pyrazol-3-y1)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

322688-85-7 CAPLUS
Urea, N-[5-[1(2,3-d.hydro-4-methoxy-1H-inden-2-y1) amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (SCI)
(CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-93-7 CAPLUS
Urea, N-[5-[([5-bromo-2,3-dihydro-1H-inden-2-y1)amino]methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,5b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-y1)- (9CI)
(CA INDEX NAME)

322688-95-9 CAPLUS
Urea, N-[5-[[(4-chloro-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N-[(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[(2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

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322688-97-1 CAPLUS
Urea, N-[5-[[(2,3-dihydro-2-methyl-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

322688-98-2 CAPLUS
Urea, N-[5-[[(2,3-dihydro-1H-benz[f]inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (OCI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-09-8 CAPLUS
Urea, N-[5-[1(5-chloro-2,3-dihydro-1H-inden-2-y1)methylamino]methyl]-1Hpyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9y1)- (9CI) (CA INDEX NAME)

322689-18-9 CAPLUS
Urea, N-[5-(2-methylphenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-00-9 CAPLUS
Urea, N-[5-[[(2,3-dihydro-1H-benz[e]inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N-[2,3,5,9b-tetrahydro-5-uxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

322689-08-7 CAPLUS
Urea, N-[5-[[(1,1-dimethylethyl)methylamino]methyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-19-0 CAPLUS
Urea, N-[5-(2-naphthalenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

322689-20-3 CAPLUS
Urea, N-{5-(6-mathyl-2-pyridinyl)-1H-pyrazol-3-yl}-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-21-4 CAPLUS
CN Urea, N-[5-(1-naphthalenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-22-5 CAPLUS
CN Urea, N-[5-(3-methylphenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 322689-30-5 CAPLUS
CN Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5-(2-thienyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 322689-40-7 CAPLUS
CN Urea, N-[5-[(28)-5-oxo-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]N'-(2, 3, 5, 9b-tetrahydro-5-oxo-1H-pyrrolo[2, 1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-27-0 CAFLUS
CN Urea, N-[5-(2-pyridinyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1HFyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-29-2 CAPLUS

Urea, N-[5-[5-methyl-1-(phenylmethyl)-1H-imidazol-4-yl]-1H-pyrazol-3-yl]N'-[2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-49-6 CAPLUS:
CN Urea, N-[5-[1-(cyclohexylamino)ethyl]-H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-50-9 CAPLUS Urea, N-(5-acetyl-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-51-0 CAPLUS
Urea, N-[5-[1-[phenylmethcxy]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-54-3 CAPLUS
Urea, N-(5-[1-(ethylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-55-4 CAPLUS
Urea, N-[5-[1-{propylamino}ethyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (SCI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

322609-52-1 CAPLUS
Urea, N-[5-[1-[(phenylmethyl)amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME) RN CN

322689-53-2 CAPLUS
Urea, N-[5-(1-hydroxyethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-56-5 CAPLUS Urea, N-[5-[1-[(1R)-1-phenylethyl]amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-57-6 CAPLUS
Urea, N-[5-[1-(cyclopentylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-58-7 CAPLUS
Urea, N-[5-[1-(cyclopropylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-60-1 CAPLUS
Urea, N-[5-[1-(1-piperidinyl)ethyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- (9CI) (CA INDEX NAME) RN CN

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322689-59-8 CAPLUS
Urea, N-[5-[1-[(1-methylethyl)amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-71-4 CAPLUS
Urea, N-[5-[(butylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-72-5 CAPLUS
Urea, N-[5-[(cyclohexylamino)methyl]-lH-pyrazol-3-yl]-N*-{2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-73-6 CAPLUS
Urea, N-[5-[[(phenylmethyl)amino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-76-9 CAPLUS Urea, N-[5-[[(1,1-dimethylethyl)amino]methyl]-lH-pyrazol-3-yl]-N'- (2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI NDEX NAME) (CA

322689-77-0 CAPLUS
Urea, N-[5-{(dimethylamino)methyl]-lH-pyrazol-3-yl}-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl}- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-74-7 CAPLUS
Urea, N-[5-([propylamino]methyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-75-8 CAPLUS
Urea, N-[5-[({1-methylethyl})amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-78-1 CAPLUS Urea, N-[5-(1-piperidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-79-2 CAPLUS Urea, N-[5-(1)pyrrolidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolid(2,1-a)isoindol-9-yl)- (9Cl) (CA INDEX NAME)

322689-80-5 CAPLUS
Urea, N-[5-[(methylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(SCI) (CA INDEX NAME)

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322689-82-7 CAPLUS
Urea, N-[5-[(cyclopentylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- (9CI) (CA INDEX NAME)

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322689-83-8 CAPLUS
Urea, N-[5-[[(1-methylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- (SCI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-81-6 CAPLUS
Urea, N-[5-[(cycloheptylamino)methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-84-9 CAPLUS
Urea, N-[5-[[(1-methylbutyl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-85-0 CAPLUS
Urea, N-[5-[[(1-methylpentyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-86-1 CAPLUS
Urea, N-[5-[[(1-methylhexyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

 $322689-89-4 \quad CAPLUS \\ Urea, N-[5-([(1,2-dimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA 1NDEX NAME)$

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-92-9 CAPLUS
Urea, N-[5-[[[(1R)-1-(4-methylphenyl)ethyl]amino]methyl]-1H-pyrazol-3-yl)N-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

322689-93-0 CAPLUS
Urea, N-{5-{({(15)-1-(4-methylphenyl)ethyl]amino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a)isoindol-9-yl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

322689-90-7 CAPLUS Urea, N-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]iscindol-9-yl)-N'-{5-[[(1,2,2-trimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]- (9C1) (CA INDEX NAME)

322689-91-8 CAPLUS Urea, N-[5-[{[1-methyl-1-phenylethyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-94-1 CAPLUS Urea, N-[5-[[[(]R]-1-(1-naphthaleny1)ethy1]amino]methy1]-1H-pyrazol-3-y1]-N'-(2,3,5-9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-95-2 CAPLUS Urea, N-[5-[[[[15]-1-[1-naphthaleny1]ethy1]amino]methy1]-1H-pyrazol-3-y1]-N'-[2,3,5-b+tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322689-96-3 CAPLUS
CN Urea, N-[5-[[([R]-1-cyclohexylethyl]amino]methyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 322689-97-4 CAPLUS
CN Urea, N-[5-[[4-(diethylamino)-1-methylbutyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]ieoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-99-6 CAPLUS
CN Urea, N-{5-[[[2-(1H-indol-3-y1)-1-methylethyl]amino]methyl]-1H-pyrazol-3-y1)-N'-(2,3,5,9b-tetrahydro-5-exo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI)
(CA INDEX NAME)

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N 322690-00-6 CAPIUS
N Urea, N-[5-[[[[R]-1-methyl-3-phenylpropyl]amino]methyl]-1H-pyrazol-3-yl]N'-[2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-98-5 CAPLUS
Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5[[(1-tricyclo[3,3,1.13,7]dec-1-ylethyl)amino]methyl]-1H-pyrazol-3-yl](9C1) (CA INDEX NAME)

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

RN 322690-01-7 CAPLUS
CN Urea, N-[5-[[(2-methoxy-1-methylethyl)amino]methyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

RN 322690-02-8 CAPLUS

Urea, N-[5-[[[5-bromo-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1H-pyrazol-3-y1]-W-[2,3,5,9b-tetrahydro-2,3-dimethyl-5-cxooxazolo[2,3-a]isoindol-9-y1}-(9CI) (CA INDEX NAME)

RN 322690-03-9 CAPLUS

Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-y1) amino]methyl]-1H-pyrazol-3-y1]-N"-(3,4,6,10b-tetrahydro-2,3-dimethyl-6-oxo-2H-[1,3]oxazino[2,3-a]isoindol-10-y1)- (9CI) (CA INDEX NAME)

RN 322692-20-6 CAPLUS
CN Urea, N={5-{(4-methyl-1-piperazinyl)methyl}-1H-pyrazol-3-yl}-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continue

RN 322692-31-9 CAPLUS
Urea, N-[5-[(2S)-1-methyl-2-pyrrolidinyl]-1H-pyrazo1-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindo1-9-y1)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

RN 445431-71-0 CAPLUS

Urea, N-[5-[[[1-(hydroxymethyl)-2-phenylethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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RN 322692-24-0 CAPLUS
Urea, N-[5-[(25)-1-(phenylmethyl)-2-pyrrolidinyl]-IH-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-IH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

RN 445431-76-5 CAPLUS

Urea, N-[5-[[[4,5-dichloro-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1Hpyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9C1) (CA INDEX NAME)

RN 445431-80-1 CAPLUS

URea, N-[5-[(ZR)-1-[phenylmethyl]-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 445431-82-3 CAPLUS
CN Urea, N-{5-(2R)-2-pyrrolidinyl-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 445431-85-6 CAPLUS
CN Urea, N-[5-[(2s,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- [9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 445431-86-7 CAPLUS
CN Urea, N-[5-[(2s,4R)-4-hydroxy-2-pyrrolidiny1]-1H-pyrazol-3-y1]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI)
INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 445431-83-4 CAPLUS
CN Urea, N-[5-[28]-2-pyrrolidinyl-1H-pyrazol-3-y1]-N'-[2,3,5,9b-tetrahydro-5xxo-lH-pyrrolo[2,1-a]isoindol-9-y1]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 445431-84-5 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- [9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 445431-89-0 CAPLUS
CN Urea, N-[5-[(2S)-1-formyl-2-pyrrolidinyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

445431-90-3 CAPLUS
Urea, N-[5-[1-(butylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

445431-91-4 CAPLUS
Urea, N-[5-[([1,5-dimethylhexyl]amino]methyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
INDEX NAME) (CA

ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L6 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

445431-92-5 CAPLUS

Urea, N-[5-[[(3-methylbutyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

445432-09-7 CAPLUS Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-[(3,4,6,10b-tetrahydro-3-methyl-6-oxo-2H-[1,3]oxazino[2,3-a]isoindol-10-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 15 OF 38
ACCESSION NUMBER:
DCOUMENT NUMBER:
136:146987
A Novel Approach for the Development of Selective Cdk4
Inhibitors: Library Design Based on Locations of Cdk4
Specific Amino Acid Residues
AUTHOR(S):

HOMMA, Teruki; Yoshizumi, Takashi, Hashimoto, Moriaki;
Hayashi, Kyokor, Kawanishi, Nobuhiko; Pukasawa,
Kazuhiro; Takaki, Tohru; Ikeura, Chinatsu; Ikuta,
Mari; Suzuki-Takahashi, Ikuko; Hayama, Takashi;
Nishimura, Susumu, Morishima, Hajime
Banyu Taukuba Research Institute in collaboration with
Merck Research Laboratories, Tsukuba, Ibaraki,
300-2611, Japan
Journal of Medicinal Chemistry (2001), 44 (26),
4628-4640
CODEN; JMCMAR; ISSN: 0022-2623
American Chemical Society
Journal
GI

PUBLISHER: DOCUMENT TYPE: LANGUAGE: GI

Identification of a selective inhibitor for a particular protein kinase without inhibition of other kinases is critical for use as a biol, tool or drug. However, this is very difficult because there are hundreds of homologous kinases and their kinase domains including the ATP binding pocket have a common folding pattern. To address this issue, the authors applied the following structure-based approach for designing selective Cdk4 inhibitors: (1) identification of specifically altered amino acid residues around the ATP binding pocket in Cdk4 by comparison of 390 representative kinases, (2) prediction of appropriate positions to introduce substituents in lead compod, based on the locations of the altered amino acid residues and the binding modes of lead compds,, and (3) library design to interact with the altered amino acid residues supported by de novo design programs. Accordingly, Asp99, thr102, and Gln98 of Cdk4, which are located in the p16 binding region, were selected as first target residues for specific interactions with Cdk4. Subsequently, the 5-position of the pyrazole ring in the pyrazol-3-ylurea class of lead compound was predicted to be a suitable position to introduce substituents. The authors then designed a chemical library of pyrazol-3-ylures substituted with alkylaminomethyl groups based on the output structures of de novo

Page 34 08/20/2004

ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) design programs. Thus the authors identified a highly selective and potent Cdk4 inhibitor (I), substituted with a 5-chloroindan-Z-ylaminomethyl group Compd. I showed higher selectivity on Cdk4 over those on not only Cdk1/2 (780-fold/190-fold) but also many other kinases (>430-fold) that have been tested thus far. The structural basis for Cdk4 selective inhibition by I was analyzed by combining mol. modeling and the x-ray anal, of the Cdk4 mimic Cdk2-inhibitor complex. The results suggest that the hydrogen bond with the carboxyl group of Asp99 and hydrophobic van der Waals contact with the side chains of Thril02 and 6198 are important. Compd. I was found to cause cell cycle arrest of the Rb(+) cancer cell line in the G1 phase, indicating that it is a good biol. tool. 322689-01-00P RL: PAC (Pharmacological activity); FRF (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (novel approach for development of selective Cdk4 inhibitors and library design of pyrazolylureas based on locations of Cdk4 specific amino acid residues in relation to antitumor activity) 322689-01-0 CAPUS (Urea, N-E-([(2S)-5-chloro-2, 3-dihydro-1H-inden-2-yl] amino]methyl]-1H-pyrazol-3-yl]-W-[(9ES)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (GCI (CA INDEX NAME)

Absolute stereochemistry.

IT

322689-07-6F 322689-72-5F 322689-74-7F
322689-75-8F 322689-76-9F 322689-78-1F
322689-79-2C 322689-80-5F 322695-82-7F
32589-79-79 393580-70-08 pr
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREF (Preparation)
(novel approach for development of selective Cdk4 inhibitors and
library design of Pyrazolylureas based on locations of Cdk4 specific
amino acid residues in relation to antitumor activity)
32689-07-6 CAPLUS
Urea, N-[5-[[[(2S)-5-chloro-2,3-dihydro-1H-inden-2-yl]amino]methyl]-1H-

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-74-7 CAPLUS
Urea, N-[5-[(propylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isolndol-9-yl)-(SCI) (CA INDEX NAME)

PAGE 2-A

322689-75-8 CAPLUS
Urea, N-[5-[[(1-methylethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-72-5 CAPLUS
Urea, N-[5-[(cyclohexylamino)methyl]-IH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-IH-pyrrolo[2,1-a]isolndol-9-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A

ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) **L6**

322689-76-9 CAPLUS
Urea, N-[5-[[(1,1-dimethylethyl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-78-1 CAPLUS
Urea, N-[5-(1-piperidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isolndol-9-yl)-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

PAGE 2-A

322689-79-2 CAPLUS
Urea, N-[S-(1-pyrrolidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

32269-80-5 CAPLUS
Urea, N-[5-[(methylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isolndol-9-yl]- (SCI) (CA INDEX NAME)

ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

393590-69-7 CAPLUS
Urea, N-[5-[([2S]-1-(phenylmethyl)-2-pyrrolidinyl]methyl]-1H-pyrazol-3-yl]N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

393590-70-0 CAPLUS
Urea, N-[5-[1(25)-1-(phenylmethyl)-2-pyrrolidinyl)methyl]-1H-pyrazol-3-yl]N'-[(pbs)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-5-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-82-7 CAPLUS
Urea, N-[5-[(cyclopentylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ΙT

322688-41-5P 322693-26-5P 393590-64-2P
393590-68-6P 393590-75-5P (Synthetic preparation); FREP (Preparation); RACT (Reactant); SPN (Synthetic preparation); FREP (Preparation); RACT (Reactant or reagent) (Anovel approach for development of selective Cdk4 inhibitors and library design of pyrazolylureas based on locations of Cdk4 specific amino acid residues in relation to antitumor activity)
322688-41-5 CAPLUS
Urea, N-(5-(hydroxymethyl)-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322693-26-5 CAPLUS
Ursa, N-(5-formyl-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

393590-64-2 CAPLUS
Urea, N-[5-[[Ghey]methoxy]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

393590-68-6 CAPLUS
Urea, N-[5-[[(25)-1-(phenylmethyl)-2-pyrrolidinyl]methyl]-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 16 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 2001:746592 CAPLUS MENT NUMBER: 136:95577

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

IT RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)

(Neterocyclic ureas as raf kinase inhibitors)
389069-99-2 CAPUIS
2-Thitophencarboxylio acid, 5-(1,1-dimethylethyl)-3-[[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]amino]-, methyl ester (9Cl) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 17

L6 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

393590-75-5 CAPLUS Urea, N-[5-[[([25)-5-chloro-2],3-dihydro-1H-inden-2-yl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(SCI NDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:581885 CAPLUS
DOCUMENT NUMBER: 153:152803
INVENTOR(S): 3Freparation of 3-aminopyrazole inhibitors of cyclin dependent kinases
SALVATI, Mark E., Kimball, Spencer David
Bristol-Hyers Squibb Co., USA
FCT Int. Appl., 37 pp.
CODEN: TYXD2
DOCUMENT TYPE: 4DEAD CONTENT TYPE: 4DE

DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

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								KZ,										
		RW:										, TZ,						
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	ΕP											2001-						
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	US	6482	842			B2		2002	1119									
	US	2003)180	58		A1		2003	0123		US 2	2002-	2198	14		2	0020	B15
		6610				В2		2003	0826						_			
PRIOR	(IT)	APP	LN	INFO.	. :							2000-						
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OFFICE											US 2	2001-	1172	13	,	13 2	0010	206
OTHER	. 50	ORCE	(2):			MARE	WI.	132;	12780	13								

The title compds. [I, Rl = R2, COR3, CONH2, atc.; R2 = H, alkyl, cycloalkyl, atc.; R3 = alkyl, cycloalkyl, aryl, etc.; A = (CR7R8)jY(CR5R6)jR4 (wherein i, j = 0-1 but cannot both be 1; Y = ethylene, alkene, alkyne or any 2 adjacent carbon atoms of a cycloalkyl or heterocycloalkyl ring of 3-7 atoms; R4 = alkyl, cycloalkyl, aryl; R5-R8 =

Page 37 08/20/2004

ANSWER 17 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) H, alkyl, cycloalkyl, etc.)] which are protein kinase inhibitors (no data given) and are useful in the treatment of proliferative diseases, for example, cancer, inflammation and arthritis, were propd. R. g., a multi-step synthesis of the pyrazole II was given. Compds. I may also be useful in the treatment of Alzheimer's disease, and cardiovascular disease. 352533-14-3P 352533-20-1P

332233-14-39 332233-20-18

RL: RAC (Riological activity or effector, except adverse); BSU (Biological study, unclassified), SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study) PREP (Preparation) USES (Uses) (preparation of 3-aminopyrazole inhibitors of cyclin dependent kinases) 352533-14-3 CAPIUS Urea, N-(2,6-difluorophenyl)-N'-[5-((1Z)-2-[5-(1,1-dimethylethyl)-2-oxazolyl]ethenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

352533-20-1 CAPLUS
Urea, N-(2,6-difluorophenyl)-N'-[5-[(1E)-2-[5-(1,1-dimethylethyl)-2-oxazolyl]ethenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 19

ANSWER 18 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

41

REFERENCE COUNT:

THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
135:238519
TITLE:
2001:549128 CAPLUS
135:238519
TITLE:
Crystallographic approach to identification of cyclin-dependent kinase 4 (CDK4)-specific inhibitors by using CDK4 mimic CDK2 protein
Ikuta, Mari; Kamata, Kenj1; Fukasawa, Kazuhiro; Honma, Teruki; Machida, Takumitsu Hiral, Hiroshi;
Susuki-Takahashi, Ikuko; Hayama, Takashi; Nishimura, Susumu
CORPORATE SOURCE:
Banyu Tsukuba Research Institute / Merck Research Laboratories, Tsukuba, 300-2611, Japan
SOURCE:
Journal of Biological Chemistry (2001), 276(29), 27548-27554
CODEN; JBCHA3; ISSN: 0021-9258
American Society for Biochemistry and Molecular
Biology
DOCUMENT TYPE:
LANGUAGE:
AB Genetic alteration of one or more components of the p161NK4A-CDK4,6/cyclin
D-retinoblastoma pathway is found in more than half of all human cancers.
Therefore, CDK4 is an attractive target for the development of a novel anticancer agent. However, it is difficult to make CDK4-specific inhibitors that do not possess activity for other kinases, especially CDK2, because the CDK family has high structural bomol. The three-dimensional structure of CDK2, particularly that bound with the inhibitor, has provided useful information for the synthesis of CDK2-specific inhibitors, as provided useful information for the synthesis of CDK3-specific inhibitor, has provided useful information for the synthesis of CDK3-specific inhibitor, bus problem, we synthesized a CDK4 mimic CDK2 portein in which the ATP binding pocket of CDK2 was replaced with that GCC CDK4. To overcome this problem, we synthesized a CDK4 mimic CDK2 protein in which the ATP binding pocket of CDK2 was replaced with that GCC SCC and information thus obtained was found to be useful for synthesis of a CDK4-specific inhibitor that does not have substantial CDK2 activity. Namely, the data suggest that CDK4 has addnl. space that will ascommodate a large substituent such as the CDK4 selective inhibitor. Inhibitors designed to bind into this large cavity sh

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

ANSWER 19 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ESSION NUMBER:

UMENT NUMBER:

LE:

Preparation of spiroisoindolinepiperidines,
spiroisoemsofurampiperidines, and related compounds
as neuropeptide Y antagonists.

ENTOR(S):

ENTOR(S):

ENT ASSIGNEE(S):

BANU Pharmaceutical Co., Ltd., Japan
COIDEN: PIXXD2
Patent

UMENT TYPE:

SUAGE:

ENGINEN COUNT:
SUAGE:
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ENGINEN COUNT: INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.				KIND			DATE			APPLICATION NO.								
WO 2001014376					A1					WO 2000-JP5427					2000081			
	W:	ΑE,	AG,	AL,	AM,	ΑU,	AZ,	RA,	BB,	BG	, BR,	BY,	BZ,	CA,	CN,	CR,	CU	
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		LC,	LK,	LR,	LT,	LV,	MA,	MD,	MG,	MK	, MN,	MX,	No.	NZ,	PL,	RO.	RU	
		SG,	SI,	5K,	ΤJ,	TM,	TR,	TT,	UA,	US	, UZ,	VN,	YU,	ZA,	AM,	AZ,	В	
					RU,													
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		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT	, LU,	MC,	NL,	PT,	SE,	BF,	BJ	
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR	, NE,	SN,	TD,	TG				
	2000						2002	0507		BR	2000- 2000-	1342	3		2	0000	811	
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL								
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AU	7672	29			B2		2003	1106	ì	١U :	2000-	6476	2		2	0000	811	
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E5	22062	287			Т3		20040	0516	1	ES 2	2000-	9519	71		2	0000	911	
J.P	20020	33008	16		AZ		20020	1129	,	JP 2	2000-	2471	45		2	0000	317	
JP	34112	262			BZ		20030	0526										
ZA	20020	10073	54		A		20030	1128	- 7	ZA Z	2002-	734			21	0020	128	
DG NO	10035						20021	1229	1	3G	2002-	1063	90		21	0020	206	
NO	10421	10081	. 4		Α.		20020	415		10 2	2002-	814			21	0020	219	
HK	10431 20030	.23			ΑŢ		20040	1130	1	CK 2	2002- 2002-	1046	16		20	0020	524	
05	20030	15525	1		Al		20030	320	τ	IS 2	2002-	2262	25		20	00208	323	
	66496				B2		20031	118										
70	20031 35535	U488	4		A2		20030	409	J	P 2	2002-	2712	51		20	00209	918	
UE	20032	2010			B2		20040	811										
	67238								C	S 2	003-	45373	37		20	00306	04	
	APPL		NEO		BZ		20040	420										
W111	MELL	14. I	MIU.	•						PI	999-	2335	13		. 17	19908	20	
											000-					00008		
									J	r 2	000-	44/14	15		3 20	00008	1/	
									0	5 2	001-9	98355	18	٠,	3 20	10110	25	
									U	5 2	002 002-2	10122	1		3 20	0203	20	
									υ	5 2	UU2-2	42022	. 5	A	3 ZU	0208	23	

L6 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN OTHER SOURCE(S): MARPAT 134:207809 (Continued)

AB Title compds. [I/ Arl - (substituted) aryl, heteroaryl, QAr2/ Ar2 = (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N, (substituted) CH; X = N, CH; Y = (substituted) indino], were prepared Thus, N-tert-butoxycarbonyl-4-piperidone was refluxed 3 h with PhGHZNH2 in PhMe to give a residue which was stirred with o-iodobenzoyl chloride and EtNN in PhMe at 80° for 2 h to give N-henzyl-N-(1-tert-butoxycarbonyl-1,2,3,6-tetrahydropyridin-4-yl)-2-iodobenzamide. The latter was heated with Pd(OAC)2, Ph3P, KZCO3, and EtMNCl in MeCN at 80° for 6 h to give 2-benzyl-1'-tert-butoxycarbonyl-1,6'-dinydrospiro[H-isoindole-1,4'(5'H)-pyridine]-3(2H)-one. This was converted to N-(4-benzylphenyl)-3-oxospiro[isoindoline-1,4'piperidine]-1'-carboxamide, [II], which inhibited [1251]peptide YY binding to NPY YS receptors with IC50 = 1.2 nM. II drug formulations are given.

IT 328232-23-1 328232-24-2P 328332-25-3P
RL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); FREP (Preparation); USES (Uses) (preparation of spiroisoindoline-piperidines, spiroisobenzofuranpiperidines, and related compds. as neuropeptide Y antagonists)

RN 328232-23-1 CAPLUS

RN 328232-23-1 CAPLUS

RN 328232-23-1 CAPLUS

Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, 3-oxo-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

ANSWER 19 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L6 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

328232-24-2 CAPLUS Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide, N-[5-(3-chlorophenyl)-1H-pyrazol-3-yl]-3-oxo- (9CI) (CA INDEX NAME)

328232-25-3 CAPLUS
Spiro[isobenzofuran-1(3H),4'-piperidine]-1'-carboxamide,
3-oxo-N-[5-(3-quinolinyl)-1H-pyrazol-3-y1]- (9CI) (CA INDEX NAME)

L6 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
134:178552
3(5)-Acylaminopyrazole derivatives, process for their
preparation and their use as antitumor agents
1NVENTOR(S):
PATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
PATENT ASSIGNEE(S):
PATENT ACCEPTANCE
PATENT TO ACCEPTA

Company PCT Int. Appl., 123 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.				KIN	IND DATE		APPLICATION NO.											
									WO 2000-US6699									
	W:										, BY,							
											, GH,							
											, LS,							
		MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO	RU,	SD,	SE,	SG,	SI,	SK,	SL,	
											, VN,							
		KZ,	MD,	RU,	TJ,	TM												
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ	, UG,	ZW,	AT,	BE,	CH,	CY,	DE,	
		DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU	, MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	G₩,	ML,	MR,	NE	, SN,	TD,	TG					
	AU 2000049714							AU 2000-49714										
EP								EP 2000-931906										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
							RO,											
BR	2000	0131	43		Α		2002	0611	1	BR :	2000-1	1314	3		2	0000	05	
JP	2003	5073:	29		T2		2003	0225		JP 2	2001-	5165	35		2	2000	05	
EE	2002	0006	5		Α		2003	0415	1	EE 3	2002-	65			2	0000	05	
NZ	5172	37			A		2004	0227	1	NZ 2	2000-9	5172	37		21	0000	05	
	6218								1	JS 2	2000-6	6676	03		21	20000	22	
	2002						20020				2002-6							
HR	2002	00012	28		A1		2003	0430			2002-							
	2002										2002-1							
	1064				A		20026	930			2002-1					00203		
PRIORITY	APP	LN. I	NFO.	:							1999-3							
											2000-5							
										10 2	2000-t	JS669	99	¥	20	00005	05	
OTHER SC	URCE	(S):			MARI	AT	134:1	17855	2									

Compds. which are 3-acylaminopyrazole derivs. (I, e.g., N-(5-cyclopropyl-IM-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be

=> d fide can 120

L20 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN **256529-50-7** REGISTRY

ED Entered STN: 24 Feb 2000

CN Urea, N-ethyl-N'-[5-[4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H15 F3 N4 O S

SR CAS Client Services

Ring System Data

Elementa:	l Elementa	l Size of	Ring System	Ring	RID
Analysis	Sequence	the Rings	Formula	Identifier	Occurrence
EA	ES	SZ	RF	RID	Count
=======	=+=======	=+======	+========	+=======	+=======
C4S	SC4	15	C4S	16.145.3	1
C3N2	N2C3	15	C3N2	16.165.13	1
C6	C6	6	C6	46.150.18	11

Calculated Properties (CALC)

PROPERTY (CODE)	VALUE	CONDITION	NO'	TE
Bioconc. Factor (BCF)	108	pH 1	(1)	ACD
Bioconc. Factor (BCF)	16837	pH 4	(1)	ACD
Bioconc. Factor (BCF)	19986	pH 7	(1)	ACD
Bioconc. Factor (BCF)	19989	8 Hq	(1)	ACD
·		pH 10	(1)	ACD
	510.8+/-50.0 deg C	760.0 Torr	(1)	ACD
	78.16+/-3.0 kJ/mol		(1)	ACD
	262.7+/-54.2 deg C		(1)	ACD
Freely Rotatable Bonds (FRB)			(1)	ACD
H acceptors (HAC)	15		(1)	ACD
H donors (HD)	3		(1)	ACD
Koc (KOC)		pH 1	(1)	ACD
Koc (KOC)	35098	pH 4	(1)	ACD
Koc (KOC)	141662	pH 7	(1)	ACD
Koc (KOC)		8 Hq	(1)	ACD
Koc (KOC)	41614	pH 10	(1)	ACD
logD (LOGD)		pH 1	(1)	ACD
logD (LOGD)		pH 4	(1)	ACD
logD (LOGD)		pH 7	(1)	ACD
logD (LOGD)		8 Hq	(1)	ACD
logD (LOGD)		pH 10	(1)	ACD
logP (LOGP)	5.963+/-0.571		(1)	ACD
Molar Solubility (SLB.MOL)	<0.01 mol/L	pH 1	(1)	ACD

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Molar Solubility (SLB.MOL) |<0.01 mol/L
                                               lpH 4
                                                           |(1) ACD
Molar Solubility (SLB.MOL) |<0.01 mol/L
                                               lpH 7
                                                           |(1) ACD
Molar Solubility (SLB.MOL) |<0.01 mol/L
                                               8 Hq|
                                                           |(1) ACD
Molar Solubility (SLB.MOL) |<0.01 mol/L
                                               |pH 10
                                                           |(1) ACD
Molecular Weight (MW)
                            1380.39
                                                           (1) ACD
pKa (PKA)
                            |12.94+/-0.10|
                                               |Most Acidic|(1) ACD
                            |3.17+/-0.50
pKa (PKA)
                                               |Most Basic | (1) ACD
Vapor Pressure (VP)
                            |1.51E-10 Torr
                                               |25.0 deg C | (1) ACD
```

See HELP PROPERTIES for information about property data sources in REGISTRY.

⁽¹⁾ Calculated using Advanced Chemistry Development (ACD/Labs) Software Solaris V4.76 ((C) 1994-2004 ACD/Labs)

Page 1 08/20/2004

ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN **256529-50-7** REGISTRY

CN Urea, N-ethyl-N'-[5-[4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H15 F3 N4 O S

SR CAS Client Services

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their preparation and their therapeutic uses. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing the 3-aminopyrazole derivative or the pharmaceutically acceptable salt

thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc derivative; (e) reducing this BOC derivative to obtain the amino analog;

reacting this amino compound with R1C(O)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of preparation are also claimed.

IT **326824-37-7P**, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-

morpholinocarboxamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(acylaminopyrazole derivs., process for preparation and use as antitumor agents)

RN 326824-37-7 CAPLUS

CN 4-Morpholinecarboxamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:137022 CAPLUS

DOCUMENT NUMBER:

134:193431

TITLE:

3(5)-Ureidopyrazole derivatives, processes for their preparation and their therapeutic uses including

antitumor agents

INVENTOR(S):

Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella; Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha

A.; Pierce, Betsy S.

PATENT ASSIGNEE(S):

Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn

Company

SOURCE:

PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.				KIN	D	DATE		APPLICATION NO.					DATE				
WO	0 2001012188			A1 20010222			WO 2000-US17878						20000811					
	\mathtt{W} :	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	, FI,	GB,	GD,	GΕ,	GH,	GM,	HR,	
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP	, KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX	, MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR	, TT,	TZ,	UA,	UG,	US,	UZ,	VN,	
		YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD	, RU,	ТJ,	TM					
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ΖW,	ΑT,	ΒE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT	, LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR	, NE,	SN,	TD,	TG				
US	6387	6387900			В1		20020514			US 1999-372833					1			
AU	2000	0674	70		A5	A5 20010313			AU 2000-67470									
EP	1202	734			A1		20020508			EP 2000-955241					20000811			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL								
BR	2000	0132	77		A 20020618													
JP	2003	5073:	28		T2 20030225			0225	JP 2001-516534					20000811				
NZ	5172	38			A 2004013			0130	JP 2001-516534 NZ 2000-517238						20000811			
ZA	2002	0011	18		Α		20030310			ZA 2002-1118				2	0020	208		
ИО	2002	0006	87		Α		2002	0403		NO	2002-	687			2	0020	211	
PRIORIT	Y APP	LN.	INFO	.:						US	1999-	3728	33	i	A 1	9990	812	
										WO	2000-1	JS17	878	1	W 2	0000	811	
OTHER S	THER SOURCE(S):			MAR	TAS	134:	19343	31										

GΙ

 $NHC(0)NR^{1}R^{2}$ Ι

AΒ Compds. which are 3(5)-ureidopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(1-piperidinyl)ethyl]urea) or a

pharmaceutically acceptable salt thereof, processes for their preparation and their use as antitumor agents are claimed. In I: R = C1-C6 alkyl, aryl or arylalkyl group, which is optionally substituted with ≥1 OH, halogen, nitro, cyano, oxo, carboxy, amino, alkylamino, dialkylamino, alkylcarbonylamino, alkoxycarbonylamino, alkoxycarbonylalkylamino, aminocarbonylalkylamino, N-alkyl-N-carbonylamino, N-cycloalkyl-Nalkylaminoalkyl, aminoalkyl, aminocarbonyl, alkyl, cycloalkyl, alkylthio, alkoxy, alkylcarbonyl, alkylsulfonyl, alkylsulfonylamino, aminosulfonyl, alkoxycarbonyl, aryl, arylalkyl, aryloxy, arylthio, arylsulfonyl, arylamino, arylcarbonyl, N-alkylpiperazinyl, 4-morpholinyl, perfluorinated C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C2-C4 aminoalkynyl or C2-C4 hydroxyalkynyl substituents. R1 = -(CH2)n-R3. N = 0-4. R3 = H, OH, amino, cycloalkyl, aryl and heterocyclyl, which is optionally substituted with ≥1 OH, halogen, nitro, cyano, oxo, carboxy, amino, alkylamino, dialkylamino, alkylcarbonylamino, alkoxycarbonylamino, alkoxycarbonylalkylamino, aminocarbonylalkylamino, N-alkyl-Ncarbonylamino, N-cycloalkyl-N-alkylaminoalkyl, aminoalkyl, aminocarbonyl, alkyl, cycloalkyl, alkylthio, alkoxy, alkylcarbonyl, alkylsulfonyl, alkylsulfonylamino, aminosulfonyl, alkoxycarbonyl, aryl, arylalkyl, aryloxy, arylthio, arylsulfonyl, arylamino, arylcarbonyl, N-alkylpiperazinyl, 4-morpholinyl, perfluorinated C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C2-C4 aminoalkynyl or C2-C4 hydroxyalkynyl substituents. R2 = H, or R2 and R1, together with the N atom to which they are bonded, form a heterocyclyl or heteroaryl group, which is optionally substituted with ≥1 OH, halogen, nitro, cyano, oxo, carboxy, amino, alkylamino, dialkylamino, alkylcarbonylamino, alkoxycarbonylamino, alkoxycarbonylalkylamino, aminocarbonylalkylamino, N-alkyl-N-carbonylamino, N-cycloalkyl-N-alkylaminoalkyl, aminoalkyl, aminocarbonyl, alkyl, cycloalkyl, alkylthio, alkoxy, alkylcarbonyl, alkylsulfonyl, alkylsulfonylamino, aminosulfonyl, alkoxycarbonyl, aryl, arylalkyl, aryloxy, arylthio, arylsulfonyl, arylamino, arylcarbonyl, N-alkylpiperazinyl, 4-morpholinyl, perfluorinated C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl, C2-C4 aminoalkynyl or C2-C4 hydroxyalkynyl substituents. When n is 0 and R2 is H, R is a C3-C6 cycloalkyl group optionally substituted with a straight or branched C1-C6 alkyl group. The compds. are useful for the treatment of cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing I comprises : (a) reacting a 3-amino-5-R-1H-pyrazole with a R1NCO to produce a 1-R1NHC(O)-3-R1NHC(O)NH-5-R-1H-pyrazole and (b) selectively hydrolyzing this intermediate in a basic medium to produce I. Another method comprises (c) reacting a 1-tert-butoxycarbonyl-3-amino-5-R-1H-pyrazole with 4-nitrophenyl chloroformate, or a polymer supported form of 4-nitrophenyl chloroformate, to produce a 1-tert-butoxycarbonyl-3-(4-nitrophenoxycarbonylamino)-5-R-1Hpyrazole, or a polymer supported form; (d) reacting this intermediate with a R1R2NH to produce a 1-tert-butoxycarbony1-3-(R1R2NC(0)NH)-5-R-1Hpyrazole; (e) hydrolyzing this compound in acidic medium to produce I; and,

Page 4 08/20/2004

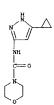
optionally, converting the 3-ureidopyrazole derivative into another derivative, and/or into a salt thereof.

IT 326824-37-7P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-4-morpholinecarboxamide 326919-80-6P, N-(5-Cyclopropyl-1H-pyrazol

Page 40 08/20/2004

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
226920-93-8P, N-(5-Cyclopropy)-1H-pyrazol-3-yl)-N'-(3-hydroxy-4methylphenyl)urea 326920-94-9P, N-(5-Cyclopropy)-1H-pyrazol-3yl)-3-cxc-3,4-dihydro-1(2H)-quinoxalinecarboxamide 326920-96-1P,
N-(5-Cyclopropy)-1H-pyrazol-3-yl)-N'-(2furylmethyl)urea 326920-98-3P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(2furylmethyl)urea 326920-98-3P, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(1,3-benzothiazol-5-yl)urea 326920-99-4P,
N'-(1,3-benzothiazol-5-yl)urea 326920-99-4P,
N'-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(1,3-dimethyl-1H-pyrazol-3-yl) aminol carbonyl] aminol 2-cremtehxyphenyl) aretamide 326921-01-1P,
N'(5-(1,3-left)) (5-Cyclopropyl-1H-pyrazol-3-yl) aminol carbonyl] aminol -4methoxyphenyl acetamide 326921-03-3-P, N'(5-Cyclopropyl-1Hpyrazol-3-yl)-N'-(3-aminophenyl)urea 326921-04-Pppyl-1Hpyrazol-3-yl)-N'-(3-aminophenyl)urea 326921-07-PP,
N'-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(4hydroxyphenyl) urea 326921-06-6P, N-(5-tert-Butyl-1H-pyrazol-3yl)-N'-(3,4-dimethyl-benzyl)urea 326921-07-PP,
N'-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(5-Cyclopropyl-1Hpyrazol-3-yl)-N'-(2-(5-methoxy-2H-indol-3-yl)-thyl)urea
326921-02-PP, N-(5-Cyclopropyl-1H-pyrazol-3-yl)-N'-(2-(2pyridinyl)ethyl)urea 326921-11-3P, N'-(5-Cyclopentyl-1Hpyrazol-3-yl)-N'-(1-benzyl-1-piperidinyl)urea 326921-13-5P,
4-(1,3-Benzedioxol-5-ylmethyl)-N'-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(4-(1,3-Benzedioxol-5-ylmethyl)-N'-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(7-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(7-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(7-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-(7-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-pyclopentyl-1H-pyrazol-3-yl)-N'-(6-cyclopentyl-1H-pyrazol-3-yl)-N'-(6-pyclopentyl-1H-pyrazol-3-yl)-N'-(6-pyclopentyl-1H-pyrazol-3-yl)-N'-(6-pyclopentyl-1H-pyrazol-3-yl)-N'-(6-pyclopentyl-1H-pyrazol-3-yl)-N'-(6-pyclopentyl-1H-pyrazol-3-yl)-N'-(6-pyclopentyl-1H-pyrazol-3-yl)-N'-(6-pyclopentyl-1H-pyrazol-N'-benzylurea 326921-20-4P, N-(5-Phenethyl-1H-pyrazol-3-yl)-N'(4-hydroxybutyl)urea
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREF (Preparation); USES (Uses)
(ureidopyrazole derivs., processes for prepn. and therapeutic uses
including antitumor agents)
326824-37-7 CAPLUS

4-Morpholinecarboxamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA



ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (continued)
yll-l-pyrrolidinecarboxamide 325920-33-56, 4-(1,3-Benzodicwol-5ylnathyl)-N-(5-phenethyl-1H-pyrazol-3-yl)-l-piperazinecarboxamide
325920-34-76, N-(5-Phenethyl-1H-pyrazol-3-yl)-d-phenyl-l-piperazinecarboxamide
326920-37-06, N-(5-Phenethyl-1H-pyrazol-3-yl)-d-phenyl-l-piperazinecarboxamide
326920-37-06, N-(5-Phenethyl-1H-pyrazol-3-yl)-d-motpholinecarboxamide 326920-31, N-(5-Phenethyl-1H-pyrazol-3yl)-d-motpholinecarboxamide 326920-31, N-(5-Phenethyl-1H-pyrazol-3yl)-d-motpholinecarboxamide 326920-31, N-(5-Phenethyl-1H-pyrazol-3yl)-d-motpholinecarboxamide 326920-31, N-(5-Phenethyl-1H-pyrazol-3yl)-d-motpholinecarboxamide 326920-32-76, N-(5-Phenethyl-1H-pyrazol-3-yl)-d-(aminomethyl-1H-pyrazol-3-yl)-d-phenethyl-1H-pyrazol-3-yl)-N-(5-Phenethyl-1H-pyrazol-3-yl)-N-(5-Phenethyl-1H-pyrazol-3-yl)-N-(3-d-dimethoxyl)-n-(5-Phenethyl-1H-pyrazol-3-yl)-N-(3-d-dimethoxyl)-n-(3-d-dimethyl-yrazol-3-yl)-N-(3-d-d-dimethyl-1H-pyrazol-3-yl)-N-(3-d-d-d-mothyl-1H-pyrazol-3-yl)-N-(3-d-d-mothyl-1H-pyrazol-3-yl)-N-(3-phenethyl-1H-pyrazol-3-yl)-N-(3-phenethyl-1H-pyrazol-3-yl)-N-(3-phenethyl-1H-pyrazol-3-yl)-N-(3-phenethyl-1H-pyrazol-3-yl)-N-(3-d-d-mothyl-1H-pyrazol-3-yl)-N-(3-d-d-mothyl-1h-pyrazol-3-yl)-N-(3-d-d-mothyl-1h-pyrazol-3-yl)-N-(3-d-d-mothyl-1h-pyrazol-3-yl)-N-(3-d-d-mothyl-1h-pyrazol-3-yl)-N-(3-d-d-mothyl-1h-pyrazol-3-yl)-N-(3-d-d-mothyl-1h-pyrazol-3-yl)-N-(3-d-mothyl-1h-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopropyl-1H-pyrazol-3-yl)-N-(3-cyclopro

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (CA INDEX NAME)

326919-81-7 CAPLUS
Benzenesulfonamide, 4-[[[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)

326919-83-9 CAPLUS Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2-(1-pyrrolidinyl)ethyl)-(9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-84-0 CAPLUS
CN Urea, N-[2-(3-chlorophenyl)ethyl]-N'-(5-cyclopropyl-lH-pyrazol-3-yl)(9C1) (CA INDEX NAME)

RN 326919-86-2 CAPLUS
CN Utea, N-(5-oyolopropy1-1H-pyrazol-3-y1)-N'-[(2,3-dimethoxypheny1)methy1)(SCI (DK NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

RN 326919-90-8 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-N'-[(3-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 326919-91-9 CAPLUS
CN Urea, N-[(3,4-dimethoxyphenyl)methyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yll- (9C1) (CA INDEX NAME)

RN 326919-92-0 CAPLUS
CN Urea, N-[(4-chlorophenyl)methyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3yl]- (9C1) (CA INDEX NAME)

RN 326919-93-1 CAPLUS
Urea, N-[(3,4-d.hydroxyphenyl)methyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yll-(SCI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-87-3 CAPLUS CN Urea, N-[(4-chlorophenyl)methyl]-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- {9CI} (CA INDEX NAME)

RN 326919-88-4 CAPLUS
CN Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-(4-piperidinylmethyl)(9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-94-2 CAPIUS CN Urea, N-[2-{3-chlorophenyl}athyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3yl]- (9CI) (CA INDEX NAME)

RN 326919-95-3 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 326919-96-4 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(3-fluorophenyl)methyl)- (9CI)
(CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-97-5 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[(3,4-dimethoxyphenyl)methyl](SCI) (CA INDEX NAME)

RN 326919-98-6 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[(3,4-dimethylphenyl)methyl](9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

$$\stackrel{\text{N}}{\underset{\text{N}}{=}} \text{CH}_2 - \text{CH}_2 - \text{NH} - \stackrel{\text{I}}{\underset{\text{C}}{=}} \text{NH}$$

RN 326920-03-0 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[2-(5-methoxy-1H-indol-3-y1)+thy1]- (9c1) (CA INDEX NAME)

RN 326920-04-1 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-1H-indol-6-yl- (9CI) (CA INDEX NAME)

RN 326920-05-2 CAPLUS
CN Urea, N-(1,3-benzodioxol-5-ylmethyl)-N'-(5-cyclopropyl-1H-pyrazol-3-yl)(9C1) (CA INDEX NAME)

RN 326920-06-3 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(4-morpholinyl)ethyl]- (9CI)
(CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326919-99-7 CAPLUS

"N Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2-hydroxy-1-methyl-2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 326920-00-7 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[(1-ethyl-2-pyrrolidinyl)methyl]- (SCI) (CA INDEX NAME)

RN 326920-01-8 CAPUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(2H-imidazol-4-yl)ethyl]-(9C1) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

NI 326920-07-4 CAPIUS
CN Urea, N-[(2-chlorophenyl)methyl]-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI)
(CA INDEX NAME)

RN 326920-08-5 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(2,4-dichlorophenyl)methyl](9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-09-6 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(2-sthoxyphenyl)methyl]- (9CI)
(CA INDEX NAME)

RN 326920-10-9 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(3,4-dichlorophenyl)methyl](9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-13-2 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[[3-(trifluoromethyl)phenyl]methyl)- (9CI) (CA INDEX NAME)

EN 326920-14-3 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(4-methylphenyl)methyl]- (9CI)
(CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continue

RN 326920-11-0 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-[(3-methoxyphenyl)methyl](9C1) (CA INDEX NAME)

RN 326920-12-1 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[(4-fluorophenyl)methyl]- (9CI)
(CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continu

RN 326920-15-4 CAPLUS CN 1-Piperazinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326920-16-5 CAPLUS CN 1-Fiperazinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-yl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 326920-17-6 CAPLUS CN 1-Piperazinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-y1)-4-methyl- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-18-7 CAPLUS
CN 1-Piperazinecarboxamide, N-(5-cyclopenty1-1H-pyrazol-3-y1)-4(phenylmethy1)- (3CI) (CA INDEX NAME)

RN 326920-19-8 CAPLUS CN 4-Morpholinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-y1)- (9CI) (CA NDEX NAME)

RN 326920-20-1 CAPLUS CN 1-Piperidinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-y1)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-25-6 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-[2-(3,4-dimethoxyphenyl)ethyl)(9C1) (CA INDEX NAME)

RN 326920-27-8 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-y1)-N'-[2-(4-hydroxyphenyl)ethyl](9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-21-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-(aminomethyl)-N-(5-cyclopentyl-1H-pyrazol-3-yl)(9Cl) (CA INDEX NAME)

RN 326920-22-3 CAPLUS CN Urea, N-{5-cyclopentyl-lH-pyrazol-3-yl)-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 326920-23-4 CAPLUS CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-y1)-N'-(2-phenylethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-29-0 CAPLUS CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-propyl- (9CI) (CA INDEX NAME)

RN 326920-31-4 CAPLUS
CN 1-Piperazineoarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-yl)-4-[2-nitro-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

326920-32-5 CAPLUS 1-Pytrolidinecatboxamide, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

$$\bigcap_{C-NH} \bigcap_{C-NH} \bigcap_{NH} \bigcap_{CH_2-CH_2-Ph}$$

326920-33-6 CAPLUS 1-Piperazinecarboxamide, 4-(1,3-benzodioxol-5-ylmethyl)-N-[5-(2-phenylethyl)-H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

326920-34-7 CAPLUS 1-Piperazinecarboxamide, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326920-40-5 CAPLUS
1-Fiperidinecarboxamide, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA

Ph-CH2-CH2

326920-42-7 CAPLUS 1-Piperidinecarboxamide, 4-(aminomethyl)-N-[5-(2-phenylethyl)-1H-pyrazol-3-yll- (SCI) (CA INDEX NAME)

326920-43-8 CAPLUS Urea, N-(2-phenylethyl)-N'-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

326920-45-0 CAPLUS Urea, M-[2-(3,4-dimethoxypheny1)ethy1]-M'-[5-(2-phenylethy1)-1H-pyrazol-3-y1]- (9C1 (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326920-35-8 CAPLUS 1-Piperazinecarboxamide, 4-phenyl-N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]-(9CI) (CA INDEX NAME)

326920-36-9 CAPLUS 1-Fiperazinecarboxamide, 4-methyl-N-[5-(2-phenylethyl)-lH-pyrazol-3-yl]-(SCI) (CA INDEX NAME)

326920-37-0 CAPLUS
1-Piperazinecarboxamide, N-[5-(2-phenylethy1)-1H-pyrazol-3-y1]-4-(phenylmethy1)- (3CI) (CA INDEX NAME)

326920-38-1 CAPLUS
4-Horpholinecarboxamide, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326920-47-2 CAPLUS Urea, N-[2-[4-hydroxyphenyl]ethyl]-N'-[5-(2-phenylethyl]-1H-pyrazol-3-yl]-(SCI) (CA INDEX NAME)

326920-48-3 CAPLUS Urea, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]-N'-propyl- (9CI) (CA INDEX NAME)

326920-50-7 CAPLUS
1-Piperazinecarboxamide, 4-[2-nitro-4-(trifluoromethyl)phenyl]-N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

326920-51-8 CAPLUS Urea, N-butyl-N'-(5-Gyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-52-9 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-(2,4-dimethylphenyl)- (9CI)
(CA INDEX NAME)

RN 326920-53-0 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(3,4-dimethoxyphenyl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-60-9 CAPLUS
CN Ures, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(3,5-dimethylphenyl)- (9CI)
(CA INDEX NAME)

RN 326920-61-0 CAPIUS
CN Benzamide, 3-[[[(5-cyclopropyl-lH-pyrazol-3-yl)amino]carbonyl]amino](9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-54-1 CAPLUS
CN Benzoic acid, 3-{[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino](9CI) (CA INDEX NAME)

RN 326920-56-3 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2,3-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 326920-58-5 CAPLUS
CN Benzoic acid, 2-chloro-5-[[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-63-2 CAPLUS CN Benzoic acid, 5-[[([5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]-2hydroxy- [9Cl) (CA INDEX NAME)

FN 326920-65-4 CAPLUS
CN Urea, N-(5-cyclopropyl-1K-pyrazol-3-y1)-N'-(2,6-dimethylphenyl)- (9CI)
(CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-66-5 CAPLUS
CN Urea, N-(4-dyanophenyl)-N'-(5-dydlopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326920-68-7 CAPLUS CN Urea, N-(3-acetylphenyl)-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 326920-73-4 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 326920-75-6 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[3-[3-(dimethylamino)-1-propynyl]phenyl)- (3CI) (CA INDEX NAME)

RN 326920-77-8 CAPLUS
CN Methanesulfonamide, N-[3-[[[(5-cyclopropyl-lH-pyrazol-3-yl)amino]carbonyl]amino]phanyl]- (9C1) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-70-1 CAPLUS CN Utea, N-1H-benzimidazol-5-yl-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (SCI) (CA INDEX NAME)

RN 326920-72-3 CAPLUS
CN Urea, N-(5-cyclopropyl-lH-pyrazol-3-yl)-N'-[(4-hydroxy-3-methoxyphenyl)methyl]- (9Cl) (CA INDEX NAME)

16 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-78-9 CAPLUS
CN Acetamide, 2-[[3-[[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]phenyl]amino]- (9CI) (CA INDEX NAME)

RN 326920-79-0 CAFLUS CN Urea, N. (S-nyclopropyl-1H-pyrazol-3-yl)-N'-(2-hydroxyphenyl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-80-3 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[3-(3-hydroxy-1-butynyl)phenyl](9CI) (CA INDEX NAME)

RN 326920-81-4 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-1H-indol-5-yl- (9CI) (CA INDEX NAME)

RN 326920-83-6 CAPLUS
CN Benzenesulfonamide, 4-[[[(5-cyclopropyl-1H-pyrazol-3-

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-86-9 CAPLUS
CN Acetamide, N-[4-([(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyljamino]phenyl]-M-methyl- (9CI) (CA INDEX NAME)

RN 326920-87-0 CAPLUS
CN Urea, N-[2-[(cyclohexylmethylamino)methyl]phenyl]-N'-(5-cyclopropyl-lH-pyrazol-3-yl)- (9Cl) (CA INDEX NAME)

RN 326920-88-1 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME) L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) y1)amino|carbonyl]amino|- (9CI) (CA INDEX NAME)

RN 326920-84-7 CAPLUS Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(3-methoxyphenyl)- (9CI) (CA NDEX NAME)

RN 326920-85-8 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-phenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-90-5 CAPLUS
CN Urea, N-(2-chlorophenyl)-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326920-91-6 CAPLUS CN Urea, N. (5-cyclopropyl-1H-pyrazol-3-yl)-N'-(3-athynylphenyl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-92-7 CAPLUS CN Urea, N-(4-aminophenyl)-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326920-93-8 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(3-hydroxy-4-methylphenyl)(9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continue

RN 326920-97-2 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(2-furanylmethyl)- (9CI) (CA INDEX NAME)

RN 326920-98-3 CAPLUS CN Urea, N-5-benzothiazolyl-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326920-99-4 CAPLUS
CN Urea, N-(5-cyclopropy)-1H-pyrazol-3-yl)-N'-(1,3-dimethyl-1H-pyrazol-5-yl)(SCI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326920-94-9 CAPLUS
CN 1(2H)-Quinoxalinecarboxamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

RN 326920-96-1 CAPLUS Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326921-00-0 CAPLUS
CN Acetamide, N-[5-[[[(5-cyclopropyl-1H-pyrazol-3-y1)amino]carbonyl]amino]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 326921-01-1 CAPLUS
CN Acetamide, N-[3-[[(5-cyclopropyl-1H-pyrazol-3-yl)amino]carbonyl]amino]-4methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 326921-03-3 CAPLUS CN Urea, N-(3-aminophenyl)-N'-(5-cyclopropyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326921-04-4 CAPLUS CN Utea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-(3-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 326921-05-5 CAPLUS CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-y1)-N'-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326921-10-2 CAPLUS
CN Urea, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(2-pyridinyl)ethyl]- (9CI)
(CA INDEX NAME)

RN 326921-11-3 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(4-hydroxybutyl)- (9CI) (CA INDEX NAME)

RN 326921-12-4 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-[1-(phenylmethyl)-4piperidinyl] (GA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326921-06-6 CAFLUS
CN Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[(3,4-dimethylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 326921-07-7 CAPIUS
CN 2(1H)-Isoquinolinecarboxamide, N-(5-cyclopropyl-1H-pyrazol-3-y1)-3,4-dihydro- (9CI) (CA INDEX NAME)

NN 326921-99-9 CAPLUS
NN Urss, N-(5-cyclopropyl-1H-pyrazol-3-yl)-N'-[2-(5-methoxy-2H-indol-3-yl)-thyl]- (3Cl) (CA INDEX NAME)

L6 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 326921-13-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-(1,3-benzodioxol-5-ylmethyl)-N-(5-cyclopentyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326921-14-6 CAPLUS CN Urea, N-cyclobutyl-N'-(5-cyclopentyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 326921-15-7 CAPLUS CN 1-Pyrrolidinecarboxamide, N-(5-cyclopentyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

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ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

326921-16-8 CAPLUS Urea, N-cyclobuty1-N'-[5-(2-phenylethy1)-1H-pyrazo1-3-y1]- (9CI) (CA INDEX NAME)

326921-17-9 CAPLUS Urea, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

326921-18-0 CAPLUS Urea, N-[5-(2-phenylethyl)-1H-pyrazol-3-yl]-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

326921-20-4 CAPLUS Urea, N-(4-hydroxybuty1)-N'-[5-(2-phenylethy1)-1H-pyrazo1-3-y1]- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: TITLE:

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
2001:78363 CAPLUS
134:147614
E: Preparation of N,N'-biarylures derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6)
NTOR(S): Hayama, Takashi, Hayashi, Kyoko, Honna, Hitsutaka;
Takahashi, Takashi, Hayashi, Kyoko, Honna, Hitsutaka;
Takahashi, Tkuko
EXT Takahashi, Tkuko
Takahashi, Tkuko INVENTOR (S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

LANGUAGE: Japanese 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. DATE KIND W0 2001007411 A1 20010201 W0 2000-UP4991 20000726
W: AE, AG, AL, AM, AU, AZ, BA, BE, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, CE, HR, HU, ID, IL, IN, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, AZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, EY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, EE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
JP 2001106673 A2 20010417 JP 2000-274175 20000726
R; AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LIU, NL, SE, MC, FT, FE 1199306 A1 20020424 EP 2000-949909 20000726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL
PRIORITY APPLN. INFO:: JP 1999-211384 A 19990726
W 2000-724991 W 20000726 JP 1999-211384 WO 2000-JP4991 A 19990726 W 20000726 OTHER SOURCE(S): MARPAT 134:147614

ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
N-(hetero) aryl-N'-heterocyclylurea derivs. represented by general formula
(I) [wherein Ar represents a nitrogenous heterocyclic accmatic group such a
(II) [wherein Ar represents a nitrogenous heterocyclic accmatic group such a
(II) [wherein Ar represents a nitrogenous heterocyclic accmatic group such a
(III) [wherein Ar represents a nitrogenous heterocyclic accmatic group such a
(III) [wherein Ar represents a nitrogenous heterocyclic accmatic group such a
(III) [wherein Ar represent] [which is a property of the property of

(preparation of new core, new core, new core)
inhibitors
of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)
RN 322669-03-2 CAPLUS
CN Urea, N-[5-([((ZR)-5-chloro-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1Hpyrazol-3-yl]-"([9SE)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-05-4 CAPLUS
Urea, N-[5-[[[(2R)-5-ohloro-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1H-pyrazol-3-y1]-N'-[(59k]-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-07-6 CAPLUS Urea, N-[5-[[[(28)-5-chloro-2,3-dihydro-1H-inden-2-y1]amino]methyl]-1H-pyracol-3-y1]-N'-[(5kR)-2,3,5,9h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1]- (GA INDEX NAME)

Absolute stereochemistry.

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

IT 322688-49-3P 322688-51-7P 322688-53-9P 322688-65-8P 322688-65-P 322688-65-P 322688-67-3P 322688-65-P 322688-67-3P 322688-65-P 322688-67-3P 322688-67-3P 322688-78-P 322688-78-3P 322688-81-3P 322688-92-P 322688-93-P 322688-93-P 322688-92-P 322688-93-P 322688-93-P 322689-92-P 322689-93-P 322689-93-P 322689-93-P 322689-93-P 322689-31-P 322689-31

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-08-7 CAPLUS
Urea, N-[5-[(1,1-dimethylethyl)methylamino]methyl]-1H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-0xo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

322689-36-1 CAPLUS Urea, N-[5-[(35)-5-oxo-1-(phenylmethyl)-3-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bs)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued) 322688-49-3 CAPLUS Urea, N-[5-[(2-methylphenyl)amino]methyl]-HH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-HH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322688-51-7 CAPLUS Urea, N-[5-[[(3-methylphenyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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322688-53-9 CAPLUS
Urea, N-{5-[[(4-methylphenyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl}- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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PAGE 2-A

322688-55-1 CAPLUS Urea, N-[5-[[[2-(1-methylethyl)phenyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

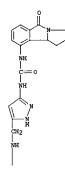
PAGE 1-A

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322688-57-3 CAPLUS Urea, N-[5-[[3-(1-methylethyl)phenyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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 $322688-58-4 \quad CAPLUS \\ Urea, N-[5-[[(4-(1-methylethyl)phenyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA 1NDEX NAME)$

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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RN 322688-60-0 CAPLUS
CN Urea, N-[5-[[(2,3-dihydro-lH-inden-l-yl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continu

RN 322688-64-2 CAPLUS
CN Urea, N-[5-[[(1-methylheptyl)amino]methyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322688-65-3 CAPLUS Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)-N'-[5-[[(1,1,3,3-tetramethylbutyl)amino]methyl]-1H-pyrazol-3-y1]- (9CI) (CA INDEX NAME) L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

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RN 322688-62-0 CAPIUS
CN Urea, N-[5-[[(1-phenylethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (SCI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-67-5 CAPLUS
CN Urea, N-[5-[(2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322688-68-6 CAPLUS
CN Urea, N-[5-[[[[R]-1-(hydroxymethyl)-2-phenylethyl]amino]methyl]-1Hpyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-69-7 CAPLUS

CN Urea, N-[5-[[[1-(hydroxymethyl)propyl]amino]methyl]-HH-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

RN 322688-70-0 CAPLUS
CN Urea, N-[5-[(2-hydroxy-1,1-dimethylethyl)amino]methyl)-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

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RN 322688-74-4 CAFLUS
CN Urea, N-[5-[(cyclododecylamino)methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322608-72-2 CAPLUS
CN Urea, N-{5-{([(R,25)-2-hydroxy-1-(methoxymethyl)-2-phenylethyl]amlon]methyl]-IH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322688-73-3 CAFLUS

Urea, N-[5-[[(hexahydro-2-oxo-1H-azepin-3-y1)amino]methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9C1) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 322688-75-5 CAPLUS
CN Urea, N-[5-[[[1-(hydroxymethyl)cyclopentyl]amino]methyl]-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

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(Continued)

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RN 322688-76-6 CAPLUS
CN Urea, N-[5-[[[1R]-1-(hydroxymethyl)-2,2-dimethylpropyl]amino]methyl]-1H-pyrazol-3-yl]-N*-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
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RN 322688-79-9 CAPLUS
Urea, N-[5-[(1-azabicyclo[2.2.2]oct-3-ylamino)methyl]-1H-pyrazol-3-yl]-N'(2.3,5,9h-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl) - (9CI) (CA
INDEX NAME)

RN 322688-80-2 CAPLUS
CN Urea, N-[5-[[([R]-1-(hydroxymethyl)-2-methylpropyl]amino]methyl]-1Hpyrazol-3-yl]-"(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

EN 322688-78-8 CAPLUS Urea, N-[5-[[[1-(phenylmethyl)-4-piperidinyl]amino]methyl]-1H-pyrazol-3-yl]-"-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-81-3 CAPLUS
Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5[[1-(3-thienylmethyl)-4-piperidinyl]amino]methyl]-1H-pyrazol-3-yl]- (9CI)
(CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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RN 322688-82-4 CAPLUS
Urea, N-[5-[([2,3-dihydro-5,6-dimethoxy-1H-inden-2-y1)amino]methyl]-1Hpyrazol-3-yl]-"([2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9yl)- (GCI INDEX NAME)

EN 322688-84-6 CAPLUS
CN Urea, N-[5-[[(5-chloro-2,3-dihydro-1H-inden-2-y1)amino]methyl]-1H-pyrazol-3-yl]-N'-[2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-89-1 CAPLUS
CN Urea, N-[5-[(2,3-dihydro-4,5-dimethoxy-1H-inden-2-yl)amino]methyl]-1H-pyracol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322688-90-4 CAPLUS
Urea, N-[5-[[(7-ethyl-2,3-dihydro-4,5-dimethoxy-lH-inden-2-yl)amino]methyl-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9Cl) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 322688-85-7 CAPLUS
CN Urea, N-[5-[[(2,3-dihydro-4-methoxy-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322688-87-9 CAPLUS
CN Urea, N-[5-[(2,3-dihydro-5-methoxy-1H-inden-2-y1) amino] methyl]-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-91-5 CAPLUS
CN Urea, N-[5-[[(2,3-dihydro-5-methyl-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a)isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322688-92-6 CAPLUS
CN Urea, N-[5-[([5-fluoro-2,3-dihydro-1H-inden-2-y1) amino]methyl]-1H-pyrazol3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1)- (9CI)
(CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-93-7 CAPLUS
Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5)b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-yl}- (9CI)
(CA INDEX NAME)

322688-95-9 CAPLUS
Urea, N-(5-[[(4-chloro-2,3-dihydro-lH-inden-2-yl)amino]methyl]-lH-pyrazol3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-98-2 CAPLUS
Urea, N-[5-[[(2,3-dihydro-1H-benz[f]inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,5b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

322689-00-9 CAPLUS Urea, N-[5-[[(2,3-dihydro-1H-benz[e]inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322688-96-0 CAPLUS
Urea, N-[5-[[(5,6-dichloro-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyreacl-3-yl] - M-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

322688-97-1 CAPLUS
Urea, N-[5-[[(2,3-dihydro-2-methyl-1H-inden-2-yl) amino] methyl]-1H-pyrazol-3-yl]-N' (-[(2,3-5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-01-0 CAPLUS
Urea, N-[5-[[[(25)-5-chloro-2,3-dihydro-1H-inden-2-yl]amino]methyl]-1Hpyrazol-3-yl]-M'-([9hS)-2,3,5,9h-tetrahydro-5-oxo-1H-pyrrolo[2,1a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-20-3 CAPLUS
CN Urea, N-[5-(6-methyl-2-pyridinyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-21-4 CAPLUS
CN Urea, N-[5-(1-naphthalenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 32269-30-5 CAPLUS
CN Urea, N-(2, 3, 5, 9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5(2-thienyi)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 322689-34-9 CAPLUS
CN Urea, N-(5-[(2S,4R)-4-hydroxy-2-pyrrolidinyl)-1H-pyrazol-3-yl)-N'-[(9bR)-2,3,5,9b-tetrahydro-8-oxo-1H-pyrrolo[(2,1-a)isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-22-5 CAPLUS
CN Urea, N-[5-(3-methylphenyl)-1H-pyrazol-3-y1]-N'-(2,3,5,9b-tetrahydro-5-oxo1H-pyrrol(2,1-315oindol-9-y1)- (9CI) (CA INDEX NAME)

RN 322689-29-2 CAPIUS
CN Urea, N-[5-[5-methyl-1-[phenylmethyl]-1H-imidazol-4-yl]-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA
INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-35-0 CAPLUS
Urea, N-[5-[(25,4R)-4-hydroxy-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IN 322689-40-7 CAPLUS
Urea, N-[5-[(2S)-5-oxo-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-46-3 CAPLUS Urea, N-[5-[(2S)-1-methyl-2-pyrrolidinyl]-lH-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-47-4 CAPLUS Urea, N-[5-[(25)-1-methyl-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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322689-50-9 CAPLUS
Urea, N-(5-acetyl-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-51-0 CAPLUS
Urea, N-[5-[2-[phenylmethoxy]ethyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-49-6 CAPLUS
Urea, N-[5-[1-(cyclohexylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]iscindol-9-yl)- (9CI) (CA INDEX NAME)

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ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 322689-52-1 CAPLUS Urea, N-{6-[1-([phenylmethyl]amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-53-2 CAPLUS
Urea, N-[5-(1-hydroxyethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-54-3 CAPLUS
Urea, N-(5-[1-(ethylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-55-4 CAPLUS
Urea, N-[5-[1-(propylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-56-5 CAPLUS Urea, N-[5-[1-[[{1R}-1-phenylethyl]amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-58-7 CAPLUS
Urea, N-[5-[1-(cyclopropylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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 $322689-59-8 \quad CAPLUS \\ Urea, \; N-[5-[1-[(1-methylethyl)amino]ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) \quad (CA INDEX NAME)$

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-57-6 CAPLUS
Urea, N-[5-[1-(cyclopentylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-60-1 CAPLUS
Urea, N-[5-[1-(1-piperidinyl)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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322689-71-4 CAPLUS
Urea, N-[5-[(butylamino)methyl]-lH-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-72-5 CAPLUS
Urea, N-[5-[(cyclohexylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-74-7 CAPLUS
Urea, N-[5-[(propylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322689-75-0 CAPLUS
Urea, N-(5-[[(1-methylethyl) amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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322689-73-6 CAPLUS
Urea, N-[5-[[(phenylmethyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-76-9 CAPLUS Urea, N-[5-[[[1,1-dimethylethyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

322689-77-0 CAPLUS
Urea, N-[5-[(dimethylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)

322689-78-1 CAPLUS Urea, N-[5-(1-piperidinylmethyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-81-6 CAPLUS Urea, N-[5-[(cycloheptylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

322689-79-2 CAPLUS
Urea, N-[5-[1-pyrrolidinylmethyl]-1H-pyrazol-3-yl]-N'-[2,3,5,9h-tetrahydro-5-oxo-1H-pyrrolid[2,1-a]isoindol-9-yl)- (GCI (NDEX NAME)

322689-80-5 CAPLUS
Urea, N-[5-[(methylamino)methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-82-7 CAPLUS
Urea, N-[5-[(cyclopentylamino)methyl]-1H-pyrazol-3-yl]-N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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322689-83-8 CAPLUS Urea, N-[5-[(1-methylpropy]) amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (GA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-84-9 CAPLUS
CN Urea, N-[5-[[(1-methylbuty1)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-85-0 CAPLUS
CN Urea, N-[5-[[(1-methylpentyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued

RN 322689-88-3 CAPLUS CN Urea, N-[5-[[(1,3-dimethylbutyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-86-1 CAPLUS
Urea, N-[5-[(1-methylhexyl)amino]methyl]-H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-ox-H-pyrrolo[2,1-a]isoindol-9-yl]- (SCI) (CA INDEX NAME)

RN 322689-87-2 CAPLUS CN Urea, N-[5-[[(1,4-dimethylpentyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-90-7 CAPLUS
CN Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5[[(1,2,2-trimethylpropyl)amino]methyl]-1H-pyrazol-3-yl]- (9C1) (CA INDEX NAME)

RN 322689-91-8 CAPLUS
Urea, N-[5-[[(1-methyl-1-phenylethyl)amino]methyl]-H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
1NDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-92-9 CAPLUS
CN Urea, N-[5-[[[(1R)-1-(4-methylphenyl)ethyl]amino]methyl]-1H-pyrazol-3-yl]N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322689-93-0 CAPLUS
CN Urea, N-[5-[[(15)-1-(4-methylphenyl)ethyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-96-3 CAPLUS

Urea, N-[5-[[[IR]-1-cyclohexylethyl]amino]methyl]-H-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 322689-97-4 CAPLUS
Urea, N-[5-[[4-{diethylamino}-1-methylbutyl]amino]methyl]-1H-pyrazol-3yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-94-1 CAPLUS
CN Urea, N-{5-{[[(1R)-1-{1-naphthalenyl}ethyl]amino]methyl}-1H-pyrazol-3-yl}N'-{2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-yl}- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 322689-95-2 CAPLUS
CN Urea, N-[5-[[([15]-1-(1-naphthalenyl)ethyl]amino]methyl]-1H-pyrazol-3-yl]N'-(2,7,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-98-5 CAPLUS
CN Urea, N-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-N'-[5[[(1-tricyclo[3,3,1.13,7]dec-1-ylethyl)amino]methyl]-1H-pyrazol-3-yl](9CI) (CA INDEX NAME)

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)

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322689-99-6 CAPLUS Urea, N-[5-[[[2-(]H-indol-3-yl)-1-methylethyl]amino]methyl]-1H-pyrazol-3-yl]-N-[2,3,5,9b-tetrahydro-5-exo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

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ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN a]isoindol-10-y1)- (9CI) (CA INDEX NAME) (Continued)

322690-04-0 CAPLUS
Urea, N-[5-[([5-bromo-2,3-dihydro-1H-inden-2-y1)amino]methyl]-1H-pyrazol-3-y1]-M'-[3,4,6,10b-tetrahydro-2-methyl-6-cxo-2H-[1,3]cxazino[2,3-a]isoindol-10-y1)- (GCI NDEX NAME)

322688-42-6P 322688-43-7P 322689-12-3P 322689-14-5P 322689-14-5P 322689-16-7P 322689-17-8P 322689-31-6P 322689-32-7P 322689-39-4P 322689-37-2P 322689-39-4P 322689-44-1P 322689-45-2P 322726-39-0P 32269-44-1P 322689-45-2P 322726-39-0P Effector, except adverse); BSU (Biological study, unclassified); FUR (Purification or recovery); SFN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (continued) 322690-00-6 CAPLUS Urea, N-[5-[[[[1]]]-1-methyl-3-phenylpropyl]amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5-9b-tatrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322690-01-7 CAPLUS Urea, N-[5-[[(2-methoxy-1-methylethyl)amino]methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322690-03-9 CAPLUS
Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl]-N'-(3,4,6,10b-tetrahydro-2,3-dimethyl-6-oxo-2H-[1,3]oxazino[2,3-

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) (prepn. of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents) 322688-42-6 CAPLUS Urea, N-[5-{(4-methyl-1-piperazinyl)methyl]-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-ttrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322688-43-7 CAPLUS Urea, N-[5-[(4-methyl-1-piperazinyl)methyl]-HH-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-12-3 CAPLUS Urea, N-[5-[(2S)-1-[phenylmethyl]-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322699-14-5 CAPLUS

UTea, N-(5-[(2S)-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'[(985)-2,3,5,98b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-32-7 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322689-33-8 CAPLUS
CN Urea, N-[5-[(2S,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'[(9SP)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo(2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-17-8 CAPLUS
CN Urea, N-[5-[(2R)-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 322689-31-6 CAPLUS
CN Urea, N-(5-cyclopentyl-1H-pyrazol-3-yl)-N'-(9b5)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-37-2 CAPLUS
Urea, N={5={(3R)-5-oxo-1-(phenylmethyl)-3-pyrrolidinyl}-1H-pyrazol-3-y1}N*-(5h5)-2,3,5,5b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-y1]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

KN 322689-38-3 CAPLUS
Urea, N=[5-[(3S)-5-oxo-1-(phenylmethyl)-3-pyrrolidinyl]-1H-pyrazol-3-yl]-N*-[(59k]-2, 3, 5, 9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]i#oindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-39-4 CAPLUS Urea, N-[5-[(3R)-5-oxo-1-(phenylmethyl)-3-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(5R)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

322689-44-1 CAPLUS Urea, N-[5-[(2S)-1-formyl-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

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322681-94-7P 322683-91-0P 322688-41-5P
322688-44-8P 322688-45-9P 322688-46-0P
322688-47-1P 322689-18-9P 322689-19-0P
322689-27-6P 322689-24-7P 322689-23-0P
322689-26-9P 322689-27-0P 322689-28-5P
322689-26-9P 322689-27-0P 322689-28-5P
322689-02-6P 322689-27-0P 322689-31-9P
NL: BAC (Biological activity or effector, except adverse); BSU (Biol

cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agente) 322681-94-7 CAPLUS Urea, N-(9-cxo-9H-fluoren-4-yl)-N'-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

322683-91-0 CAPLUS
Urea, N-(5-phenyl-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-45-2 CAPLUS
Urea, N-[5-[(2\$)-1-formyl-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NABE)

(Continued)

Absolute stereochemistry.

322726-83-0 CAPLUS
Urea, N-(5-[(2S,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322688-41-5 CAPLUS
Urea, N-[5-(hydroxymethyl)-|H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxoH-pyrrol2(2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

322688-44-8 CAPLUS
Urea, N-[5-[[(1-ethylpropyl)amino]methyl]-lH-pyra2ol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322688-45-9 CAPLUS
CN Urea, N-(5-[[(2-methylpropyl)amino]methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrabydro-5-owo-1H-pyrrolo(2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

N 322688-46-0 CAPLUS N Urea, N-[5-[(2,2-dimethylpropyl)amino|methyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-owo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-19-0 CAPLUS
CN Urea, N-[5-(2-naphthalenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrshydro-5-oxo-1H-pyrerole(2,1-a)isoindol-9-yl)- (9CI) (CA INDEX NAME)

RN 322689-23-6 CAPLUS
CN Urea, N-[5-(2S)-2-pyrrolidinyl-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continue

RN 322688-47-1 CAPLUS
CN Urea, N-(5-[(1,1-dimethylpropyl)amino]methyl]-lH-pyrazol-3-yl]-N'(2,3,5,9b-tetrahydro-5-oxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

RN 322689-18-9 CAPLUS
CN Urea, N-[5-(2-methylphenyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrol(2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 322689-24-7 CAPLUS
CN Urea, N-[5-(2S)-2-pyrrolidinyl-1H-pyrazol-3-yl]-N'-[(9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 322689-25-8 CAPLUS
CN Urea, N.-[5-{2R}]-2-pyrrolidinyl-1H-pyrazol-3-yl]-N'-[(9bR)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindo[-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

322689-26-9 CAPLUS
Urea, N-[5-(2R)-2-pyrrolidinyl-1H-pyrazol-3-yl]-N'-((9bS)-2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322689-27-0 CAPLUS
Urea, N-[5-(2-pyridinyl)-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322692-20-6 CAPLUS
Urea, N-[5-[(4-methyl-1-piperazinyl)methyl]-lH-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-cxo-lH-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322689-48-5 CAPLUS Urea, N-[5-[1-(butylamino)ethyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

322690-02-8 CAPLUS Urea, N-[5-[[(5-bromo-2,3-dihydro-1H-inden-2-yl)amino]methyl]-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-2,3-dimethyl-5-oxooxazolo[2,3-a]isoindol-9-yl)-(9CI) (CA INDEX NAME)

PAGE 2-A

L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322692-31-9 CAPLUS
Urea, N-[5-{(25)-1-methyl-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

322692-24-0P 322693-26-5P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(preparation of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors

cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)
322692-24-0 CAELUS
Urea, N-[5-[(25)-1-(phenylmethyl)-2-pyrrolidinyl]-1H-pyrazol-3-yl]-N'(2,3,5,9-b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

322693-26-5 CAPLUS Urea, N-(S-form)1-1H-pyrazol-3-yl)-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 23 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

The title compds. [I, V = CO2R2, CONR2R3, CONR2OR3, etc. (wherein R2, R3 = H, alkyl); A = (CH2)n(CR8R9)bNR7, (CR8R9)b(CH2)nNR7, (CR8R9)b(CH2)n, etc. (b = 0-1) n = 0-3; R7 = H, alkyl, (cycloalkyl)alkyl; R8, R9 = H, alkyl); Y = CO, SO2, O, a bond; Z = (un)substituted phenylene, divalent radical derived from 5-6 membered heteroarom. ring containing 1-2 heteroatoms

derived from 5-6 membered heteroarom. ring containing 1-2 heteroatoms suited

from N, O and S; or AYZ together = II; R1 = H, alkyl; X =

CO(CR13R14) r(CR12) s, SO2(CR13R14) r(CR12) s, CO2(CR13R14) r(CR2) s, etc. (r =

0-1 s = 0-3; R13, R14 = H, alkyl); D = (un) substituted Ph, pyridyl,
cyclopropyl, etc.; E = (un) substituted quinolinyl, 2,5-dioxopiperidinyl,
Eiphenylalkyl, etc.] which act to antagonize the action of the glucagon hormone on the glucagon receptor (data given), and therefore may be
suitable for the treatment and/or prevention of any glucagon-mediated
conditions and diseases such as hyperglycenia, Type 1 diabetes, Type 2
diabetes and obesity, were prepared and formulated. E.q., a multi-step
solid phase synthesis of III was given. Compds. I are effective at

0.05-10 my/kg/day.

307985-04-2F

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SFN (Synthetic preparation); THU (Therspeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(benzoylamino) propionic acid derivs. as glucagon
antagonists/inverse agonists);
307985-04-2 CARDUS
B-Alanine, N=[4-[([trans-4-(1,1-dimethylethyl) cyclohexyl)[[(5-phenylIH-pyrazol-3-yyl)amino]carbonyl]amino]methyl)benzoyl] - (SCI) (CA INDEX
NAME)

Relative stereochemistry.

L6 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1171IE:
1NVENTOR(S):
2000:824211 CAPLUS
134:4764
Preparation of 3-(benzoylamino) propionic acid derivatives as glucagon antagonists/inverse agonists
Ling, Anthony, Pleve, Hichael Bruno Truedale, Larry Kenneth, Lau, Jesper: Madsen, Peter: Sams, Christian; Behrens, Carsten; Vagner, Josef; Christensen, Inge Thoger; Lundt, Behrend Prederik; Sidelmann, Ulla Grove; Thogersen, Henning
Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc. PCT Int. Appl., 564 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: PIXXD2
PATENT INFORMATION:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. COUNT: FATENT INFORMATION:

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		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO	NZ,	PL,	PT,	RO,	RU,	SD,	SE
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		DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU	MC,	NL,	PT,	SE,	BF,	ВJ,	CI
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US	6503	949			В1		2000	0516		US :	2000-	5725	53		2	0000	516
EP	1183	229			A1		2002	0306		EP :	2000-	9267	25		2	:0000	516
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BR	2000	0106	51		A		2002	0319		BR :	-0005	1065	1		2	0000	516
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NO	2001	0056	07		A		2002	0117		NO :	2001-	5607			2	0011	116
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L6 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 72 08/20/2004

L6 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
133:344173
1:Phenyl-5-pyrazolyl ureas: potent and selective p38 kinase inhibitors
Dumas, J., Hatoum-Mokdad, H.; Sibley, R.; Riedl, B.; Scott, W. J.; Monahan, M. K.; Lowinger, T. B.; Brenann, C.; Natero, R.; Turner, T.; Johnson, J. S.; Schoenleber, R.; Bhargava, A.; Wilhelm, S. M.; Housley, T. J.; Ranges, G. E.; Shrikhande, A. Department of Chemistry Research, Bayer Research Center, West Haven, C.T, 06516, USA
Bioorganic 4 Medicinal Chemistry Letters (2000), 10(18), 2051-2084
COUNENT TYPE:
DOCUMENT TYPE:

10(18), 2051-2054
CODEN: BMCLEW; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Inhibitors of the MAP kinase p38 are potentially useful for the treatment of arthritis and osteoporosis. Several 2,3-dichlorophenyl ureas were identified as small-mol. inhibitors of p38 by a combinatorial chemical effort. Optimization for cellular potency led to the discovery of a new class of potent and selective p38 kinase inhibitors, exemplified by the 1-phenyl-5-pyrazolyl urea 7 (1C50-13 nM).

1 229001-84-7P
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study); PREP (Properties); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(1-Thenyl-5-pyrazolyl ureas: preparation and inhibition of p38 kinase in resolution to structure)
RN 229001-84-7 CAPJUS
CN Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-IH-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 10

ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

The title compds. I [V, W, X, Z = CH, N; Rl = H, alkyl, etc.; R2 = CHO, etc.; R3 = H, alkyl; Ar = aryl, heteroaryl; R4, R5 = H, nitro, etc.] are prepared I are useful in the treatment of obesity and the complications associated therevith. 1-Methanesulfonyl-N-(5-phenyl-2-pyrazinyl)spirofindoline-3,4'-piperidine]-1'-carboxamide at 3 mg/kg suppressed bowine pancreatic polypeptide-induced food intake in rats. Formulations are given. 268537-08-29 268537-03-39 RL: RAC [Rological activity or effector, except adverse); BSU [Riclogical study, unclassified), SPN [Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PERF [Preparation], USES (Uses) (preparation of spiroindolines as YS receptor antagonists) 268537-09-2 CAPLUS [Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]-1,2-dihydro-1-(methylsulfonyl)- (SCI) (CA INDEX NAME)

PAGE 1-A

L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:335409 CAPLUS
DOCUMENT NUMBER: 132:334474
Preparation of spiroindolines as Y5 receptor antagonists
Gao, Ying-duo; Macneil, Douglas J., Yang, Lihu; Morin, Nancy R.; Fukami, Takehiro; Kanatani, Akio; Fukuroda, Takahiro; Ishii, Yasuyukii, Morin, Masaki
Herck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd., et al.
SOURCE: PIXTO PORTON PIXTO PARENT PIXTO PIXTO

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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		MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT	, RO,	RU,	SD,	SE,	SG,	SI,	SK,
		SL,	TJ,	TM,	TR,	TT.	TZ,	UA,	UG,	US	, UZ,	VN,	ΥU,	ZA,	ZW,	AM,	AZ,
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM									
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ	, UG,	ZW,	ΑT,	BE,	CH	CY,	DE,
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		CG,	CI,	CM,	GA,	GN,	. G₩,	ML,	MR,	NE	, sn,	TD,	TG				
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EP	1129																
	R:	AT,	BE,	CH,	DΕ,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO										
AU	7567 6313	97			B2		2003	0123		AU	2000-	1473	2			19991	108
US	6313	298			В1		2001	1106		US	2000-	6566	98		- 2	20000	907
US	2002 6495	0588	13		A1		2002	0516		บร	2001-	8969	40		- 2	20010	629
US	6495	559			B2		2002	1217									
US	6638 2004	942			В1		2003	1028		US	2002-	2282	50		- 2	20020	826
					A1		2004	0401		US	2003-	6244	14		- 2	20030	721
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										US	2002-	2282	50	1	13 5	0020	826
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L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 2-A

268537-09-3 CAPLUS Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, 1,2-dihydro-N-[5-(5-methoxy-3-pyridinyl)-1H-pyrazol-3-yl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

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268537-11-7 CAPLUS Spiro(3H-indole-3,4'-piperidine]-1'-carboxamide, 1,2-dihydro-N-[5-(3-methoxypheny1)-1H-pyrazol-3-yl]-1-(methylsulfony1)- (9CI) (CA INDEX NAME)

L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

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268537-13-9 CAPLUS
Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, N-[5-(3-chlorophenyl)-1H-pyrazol-3-yl]-1,2-dihydro-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

- 268537-33-3 CAPLUS
 Spiro[3H-indole-3,4'-piperidine]-l'-carboxamide, N-[5-(4-chlorophenyl)-lH-pyrazol-3-yl]-l-(ethylsulfonyl)-l,2-dihydro- (9CI) (CA INDEX NAME)
 - PAGE 1-A

L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

268537-16-2 CAPLUS
Spiro[3H-indole-3,4'-piperidine]-1'-carboxamide, 1,2-dihydro-1(methylsulfonyl)-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PAGE 2-A

Page 74 08/20/2004

L6 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:314698 CAPLUS
132:334455
ITITLE: preparation, and their use as antitumor agents
FEVERIAL ASSIGNEE(S): Gabriella, Yalla, Manuela, Yulpetti, Anna; Isacchi, Antonnella
FATENT ASSIGNEE(S): Pharmacía & Upjohn S.p.A., Italy
FCT Int. Appl., 95 pp.
COEM: PIXXD2

DOCUMENT TYPE: Fatent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 1

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			IL,	IN,	IS,	JP,	KP.	KR,	LC,	LK,	LR	, LT,	LV,	MG,	MK,	MN,	MX,	NO,
			NZ.	PL.	RO,	SG,	SI.	SK,	SL.	TR,	TT	, UA,	US,	UZ,	VN,	YU,	ZA,	AM,
			AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM								
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			DK.	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU	, MC,	NL,	PT,	SE,	BF,	BJ,	CF,
			CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE	, SN,	TD,	TG				
P	3R	9914	868			A		2001	0703		BR	1999-	1486	8		1	.9991	027
E	ŒΡ	1124	811			A1		2001	0822		EΡ	1999-	9539	59		1	9991	027
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
								RO										
J	P	2002	5285	38		T2		2002	0903		JP :	2000-	5795	92		1	9991	027
N	ız	5109	67			A						1999-						
		7711						2004				2000-						
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											JS :	2001-	8306	58	1	A1 2	0010	430

OTHER SOURCE(S): MARPAT 132:334455

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The title 2-ureido-1,3-thiazole derivs. I and their pharmaceutically acceptable saits are disclosed (wherein R = halo, nitro, (un)substituted amino, C1-6 alkyl, C3-6 cycloalkyl, aryl, or arylalkyl R1 = (un)substituted C1-6 alkyl, 3- to 6-membered carbocycle or 5- to 7-membered heterocycle, aryl, arylcarbonyl, or arylalkyl, R2 = H, straight

L6 ANSWER 27 OF 38 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

INVENTOR (5):

CAPLUS COPYRIGHT 2004 ACS on STN
1999:425745 CAPLUS
131:87909
Inhibition of p38 kinase activity using substituted heterocyclic ureas
Dumas, Jacques, Khire, Uday, Lowinger, Timothy Bruno, Paulsen, Holger, Riedl, Bernd; Scott, William J.;
Smith, Roger A.; Wood, Jill E.; Hatcum-Hokdad, Holia;
Johnson, Jeffrey, Lee, Wendy; Redman, Aniko
Bayer Corporation, USA
PCT Int. Appl., 126 pp.
CODEN: PIXXD2
Patent

PATENT ASSIGNEE(S): SOURCE:

MARPAT 131:87909

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO 9932111 A1 19990701 WO 1998-US26080 19981222
W: AL, AM, AT, AU, AZ, RA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, YE, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MM, MW, MN, ON, AZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TU, TH, TR, TT, UA, UG, UZ, VN, VU, ZW, AM, AZ, EY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, RE, CH, CY, DE, DX, ES, FI, FR, GB, GR, IE, IT, LU, MG, NL, PT, SE, RF, RJ, CF, CG, CI, CH, GA, GN, GW, HL, MR, NE, SN, TD, TG
CA 2315720 AA 19990712 AU 1999-19971 19981222
AU 39919971 A1 19990712 AU 1999-19971 19981222
AU 3919971 A1 19990712 AU 1999-19971 19981222
R: AT, RE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
JP 2001526223 T2 20011018 US 1997-995750 19981222
PRIORITY APPLN. INFO: 19981222 CU, CZ, DE, IN, IS, JP, MG, MK, MN, SL, TJ, TM, MD, RU, TJ, TM DE, DK, ES, CF, CG, CI,

OTHER SOURCE(S):

A method for treatment of p38-mediated disease other than cancer comprises administration of ANRCOMHS [1, λ = substituted isoxazoly1, pyrazoly1, thieny1, fury1; B = (substituted) mono-, di-, or tricyclic ary1, heteroary1 containing ≥ 1 5-6 membered aromatic structure containing 0-4 N,

or S atoms]. Reaction of 4-(4-pyridinylthio)aniline with 3-tert-butyl-5-isoxazolyl isocyanate in toluene gave title compound II. In an in vitro p38 kinase assay, I displayed IC50 values of 1-10 µM.

ANSWER 26 OF 38 CAPLUS COFYRIGHT 2004 ACS on STN (Continued) or branched C1-4 alkyl, C2-4 alkenyl, or alkynyl; or NRN2 = (un) substituted, optionally benZo-condensed or bridged 5- to 7-membered heterocycle, or 9- to 11-membered spiro-heterocycle). The compds. are active as cdk/cyclin inhibitors, and are useful for treating cell proliferative disorders assocd with an altered cell dependent kinase activity. The proliferative disorders include cancer and a wide variety of other conditions, such as Alzheimer's disease, viral infections, autoimmune diseases, and neurodegenerative disorders. Over 230 invention compds. are claimed and/or prepd. in examples. For instance, reaction of Ph isocynate with 2-amino-5-bromo-1,3-thiazele hydrobromide in the presence of Et3N gave title compd. I (R = Br, RI = Ph, R2 = H). The similarly prepd. title compd. I (R = iso-Pr, R1 = 3,5-dimethylphenyl, R2 = R] inhibited cdk2/cyclin A complex in vitro with an IC50 of 0.56 µM. 267432:17-7 267432:18-89 RL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (target compound; preparation of ureidothiszole derivs, as antitumor tst).

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 267432-18-8 CAPEUS
CN Urea, N-[5-(1-methylethyl)-2-thiazolyl]-N'-(5-phenyl-1H-pyrazol-3-yl)[9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT REFERENCE COUNT:

ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
229001-78-99 229001-83-69 229001-84-79
229001-85-89 229001-86-99 229001-91-69
229001-92-92 229001-90-59 229001-91-69
229001-92-79 229002-92-09 229155-37-79
229155-72-09
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted heterocyclic ureas for treatment of p38 kinase-mediated diseases other than cancer)
229001-78-9 CAPLUS
(PREPARAUS)
(PR

229001-83-6 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-(4-phenoxyphenyl)-(9CI) (CA INDEX NAME)

229001-84-7 CAPLUS Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-(SCI) (CA INBEX NAME)

229001-85-8 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

NH-C-NH-CH2

229001-86-9 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[3-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

229001-87-0 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl)-N'-[4-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

229001-89-2 CAPLUS
Benzamide, 3-[4-[[[5-{1,1-dimethylethyl}-1H-pyrazol-3yl]amino] carbonyl]amino] phenoxy]-N-methyl-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CRN 229001-88-1 CMF C22 H25 N5 O3

ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

229002-92-0 CAPLUS Urea, N-(3,4-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-(SCI) (CA INDEX NAME)

229155-37-7 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-(4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

229155-72-0 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazo1-3-yl]-N'-[3-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L6 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CO2H

229001-90-5 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

229001-91-6 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazo1-3-yl]-N'-[4-(4-methylphenoxy)phenyl]- (9CI) (CA INDEX NAME)

229001-92-7 CAPLUS
Urea, N-[3-(2-benzothiazolyloxy)phenyl]-N'-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 28 OF 38 CAPLUS COFYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:425740 CAPLUS
DOCUMENT NUMBER: 131:73648
TITLE: 131:73648
Inhibition of raf kinase using substituted heterocyclic ureas
Dumas, Jacques; Khire, Uday, Lowinger, Timothy Bruno, Faulsen, Holger; Riedl, Bernd; Scott, William J., Smith, Roger A.; Wood, Jill E.; Hatcum-Mokdad, Holiar Johnson, Jeffrey; Lee, Wendy; Redman, Aniko
PATENT ASSIGNEE(S): Bayer Corporation, USA
PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: LANGUAGE: Eaglish
FAMILY ACC. NUM. COUNT: 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

TR 2000-200002618
JP 2000-525097
BR 1998-14374
RU 2000-120184
NO 2000-3232
BG 2000-104597
US 1997-996343
WO 1998-US26078 19981222 19981222 19981222 19981222 20000621 20000712 19971222 PRIORITY APPLN. INFO.:

A method for treatment of cancerous cell growth mediated by raf kinase comprises administration of urea derivs. ANHCONHB [I, A = substituted isoxazoly1, thieny1, thiadiazoly1, fury1, pyrazoly1, etc., B = (substituted) mono, di-, or tricyclic argl, heteroary1 containing ≥1 5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction AB of

MARPAT 131:73648

Page 76 08/20/2004

ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 4-phenyloxyphenyl isocyanate with 5-amino-3-tert-butylisoxazole in methylene chloride and heating at reflux temp, for 2 days gave title compd. II. In an in vitro raf kinase assay, 1 displayed IC50 values of

COMPGG. 11. In an in vitro rai kinase assay, 1 displayed took reason of 1-10 µM.
229001-77-8P 229001-83-4P 229001-79-0P
229001-80-3P 229001-81-4P 229001-82-5P
229001-83-6P 229001-81-0P 229001-83-2P
229001-90-5P 229001-91-6P 229001-92-7P
229002-79-2P 229002-92-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use);
BIOL (Biological study); PREF (Preparation); USES (Uses)
(preparation of substituted theterocyclic ureas for treatment of cancerous cell growth mediated by raf kinase)
229001-77-8 CAPLUS
Urea, N-(4-(4-acetylphenoxy)phenyl)-N'-(5-(1,1-dimethylethyl)-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

229001-78-9 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-methoxyphenoxy)phenyl]- (9CI) (CA INDEX NAME)

229001-79-0 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(3-methylphenoxy)phenyl]- (9CI) (CA INDEX NAME)

229001~80-3 CAPLUS

ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

229001-85-8 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

229001-86-9 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[3-(4-pyridinylthio)phenyl]- (9Cl) (CA INDEX NAME)

229001-87-0 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)

229001-89-2 CAPLUS
Benzamide, 3-[4-[[[5-(1,1-dimethylethyl)-lH-pyrazol-3yl]amino] carbonyl]amino]phenoxy]-N-methyl-, mono(trifluoroacetate) (SCI)
(CA INDEX NAME)

CM 1

CRN 229001-88-1

ANSWER 28 OF 38 CAPIUS COPYRIGHT 2004 ACS on STN (Continued) Urea, N-[5-[1.-dimethylethyl]-1H-pyrazol-3-yl]-N'-[4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

225001-81-4 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[6-[(4-methoxyphenyl)thio]-3-pyridinyl]- (9CI) (CA INDEX NAME)

229001-82-5 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl]-N'-[4-(4-flucrophenoxy)phenyl]- (9CI) (CA INDEX NAME)

229001-83-6 CAPLUS Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-(4-phenoxyphenyl)-(8CI) (CA INDEX NAME)

229001-84-7 CAPLUS Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-(SCI) (CA INDEX NAME)

ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN CMF C22 H25 N5 O3 (Continued)

2 CM

76-05-1 C2 H F3 O2

229001-90-5 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

229001-91-6 CAPLUS
Urea, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-N'-[4-{4-methylphenoxy)phenyl}- (9CI) (CA INDEX NAME)

229001-92-7 CAPLUS Urea, N-[3-(2-bergothiazolyloxy)phenyl]-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]- (9C1) (CA INDEX NAME)

Page 77 08/20/2004

L6 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

229002-78-2 CAPLUS
Urea, N-[5-(2-furanyl)-1H-pyrazol-3-yl]-N'-[6-[4[(trifluormethyl)thio]phenoxy]-3-pyridinyl]- [9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

229002-92-0 CAPLUS Urea, N-(3,4-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-(9C1) (CA INDEX NAME)

L6 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1199:375437 CAPLUS
111:27961
Hypolipemic agents
FUKSMI, Takehiro; Fukuroda, Takahiro; Kanatani, Akio;
Thara, Masaki
Banyu Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	PENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
WO	9927	965			λl	-	1999	0610		Wo 1	998-	JP53	58		1	9981	127
	W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	15,	JP,	KE,
		KG,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,
		NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,
		UA,	UG,	υs,	UZ,	VN,	YU,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM
	RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PI,	SE,	BF,	BJ,	CF,	CG,	CI,
		CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						
ΑU	9912	621			A1		1999	0616		AU 1	999-	1262	1		1:	9981	127
IORITY	APP	LN.	INFO	. :						JP 1	997-	3443	57		1	9971:	128
										JP 1	998-	1692	16		1:	9980	602
									1	WO 1:	998-	JP53!	58		1:	9981	127

PR

Remedies for hypercholesterolemia, hyperlipemia and arteriosclerosis containing as the active ingredient neuropeptide Y Y5 receptor antagonists typified by, for example, a compound represented by formula [I]. Formulation examples of I were given.

208518-73-68
REL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (neuropeptide Y Y5 receptor antagonists as hypolipemic and antiatherosclerotic agents); 208518-75-6 CAPLUS
1-Piperazinecarboxamide, N-(5-(4-methoxyphenyl)-1H-pyrazol-3-yl)-4-phenyl-(9CI) (CA INDEX NAME)

L6 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 29 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 78 08/20/2004

L6 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1999:126025 CAPLUS
130:331726
ACTION:
AUTHOR(S):
CORPORATE SOURCE:
SOURCE:
PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
PUBLISHER:
DOCUMENT TYPE:
DOCUMENT TYPE:
DOCUMENT TYPE:
AUGUST ACCESSION OF THE SOURCE(S):
GI
CASPEACT 130:331726

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

IT

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:384327 CAPLUS
DOCUMENT NUMBER: 1295:54391
ITILE: derivatives as neuropeptide Y antagonists
Fukami, Takehiror, Fukuroda, Takahiror, Kanatani, Akior
Ihrar, Masaki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
FOURCE: CODEN: PIXXD2
DOCUMENT TYPE: PAMILY ACC. NUM. COUNT: 1
Japanese
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		ENT						DATE				LICAT				D	ATE		
										,		1997-				1	9971	202	
		W:										, BY,							
												, IL,							
												, MK,							
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL	, TJ,	TM,	TR,	TT,	UA,	UG,	US,	
			UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	ΚG,	KZ.	, MD,	RU,	TJ,	TM				
		RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT	, BE,	CH,	DE.	DK,	ES,	FI,	FR,	
			GB.	GR.	IE,	IT.	LU,	MC,	NL.	PT.	SE	, BF,	BJ,	CF,	CG,	CI,	CM,	GA,	
						NE.													
	AU	9851	359			A1		1998	0629		AU :	1998-	5135	9		1	9971	202	
	EΡ	9552	93			A1		1999	1110		EP :	1997-	94601	71		1	9971	202	
	EP	9552	93			B1		2003	0319										
		R:	DE,	FR.	GB.	IT													
	IIS	6043		,	,			2000	0328	,	115	1999-	3086	58		1	9990	726	
PRIOR				INFO	. :							1996-			- 1	A 1	9961	203	
			2									1997-				7 1	9971	202	
OTHER	sc	URCE	(5):			MARE	AT	129:	5439			'		•		-			

The title compds. I [A represents nitrogen or a group represented by CR5] Arl represents aryl optionally having substituent(s) selected from the group consisting of halogeno, lower alkyl, and lower haloalkyl. Ar2 represents aryl or heteroaryl optionally having substituent(s) selected from the group consisting of halogeno, lower alkyl, sower alkenyl, lower haloalkyl, lower alkony, lower alkylthough alkylsmino, lower alkylsmino, lower alkylsmino, lower alkylsmino, lower dialkylamino, and aryls R1 represents hydrogen or lower alkyls R3 and R4 are the same or different and each represents hydrogen or lower alkyls R3 and R4 are thought of the seach represents hydrogen or lower alkyls R3 and R4 are bonded to each other to represent C2-4 alkylsmino, lower alkyls, bonded to R5 to represent a bond) are prepared I are useful in the treatment of hyperphagia, chesity, or diabetes. In an in vitro test for neuropeptide Y antagonism, 3-(4-mathoxyphenyl)pyrazole showed

L6 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
IC50 of 27 nM,
208318-73-59 208518-76-7P 208518-77-8P
208518-73-59 208518-79-0P 208518-80-3P
208518-94-14P 208518-92-59 208518-83-6P
208518-94-7P 208518-92-89 208518-83-9P
208518-94-7P 208518-98-1P 208518-83-2P
208518-93-9P 208518-93-9P 208518-93-PP
208518-93-9P 208518-93-9P 208518-93-PP
208518-93-5P 208518-93-2P 208518-93-PP
208518-93-5P 208518-93-2P 208518-93-91-PP
208518-93-5P 208518-95-2PP
208518-93-5P 208518-96-6PP
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); Uses (Uses)
(preparation of use moiety-containing pyrazole derivs. as neuropeptide Y antagonists)
208518-75-6 CAPUS
1-Fiperazinecarboxamide, N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl-(9CI) (CA INDEX NAME) L6 IT

208518-76-7 CAPLUS 1-Piperazinezathoxamide, N-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]-4-phenyl-(SCI) (CA INDEX NAME)

208518-77-8 CAPLUS 1-Fiperazinecarboxamide, N-[5-(2-methylphenyl)-1H-pyrazol-3-yl]-4-phenyl-(SCI) (CA INDEX NAME)

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L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on SIN (Continued)

RN 208518-78-9 CAPLUS
CN 1-Fiperazinecarboxamide, N-[5-(3-methylphenyl)-1H-pyrazol-3-yl]-4-phenyl[9C1] (CA INDEX, NAME)

RN 208518-79-0 CAPLUS
CN 1-PiperazineCarboxamide, N-[5-(4-methylphenyl)-1H-pyrazol-3-yl]-4-phenyl(3C1) (CA INDEX NAME)

RN 208518-80-3 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(2-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl(9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-84-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-phenyl-N-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 208518-85-8 CAPLUS
CN 1-Ziperazinecarboxamide, N-[5-[4-(dimethylamino)phenyl]-1H-pyrazol-3-yl]-4-phenyl- (SCI) (CA INDEX NAME)

RN 208518-86-9 CAPLUS
CN 1-Fiperazinecarboxamide, N-[5-[3-(dimethylamino)phenyl]-1H-pyrazol-3-yl]-4-phenyl- (9C1) (CA INDEX NAME)

16 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-81-4 CAPLUS
CN 1-Piperazinecarboxamide, N-(5-(3,4-dichlorophenyl)-1H-pyrazol-3-yl]-4-phenyl-(9C1) (CA INDEX NAME)

RN 208518-82-5 CAPIUS
CN 1-Piperazinecarboxamide, N-[5-(4-bromopheny1)-1H-pyrazol-3-y1]-4-phenyl(SCI) (CA INDEX NAME)

RN 208518-83-6 CAPLUS CN 1-Piperazinecarboxamide, N-[5-(3-chlorophenyl)-1H-pyrazol-3-yl]-4-phenyl-(9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-87-0 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl-(9CI) (CA INDEX NAME)

RN 208518-88-1 CAPLUS
CN 1-Piperazinecarboxamide, N-(5-[4-(1-methylethoxy)phenyl]-1H-pyrazol-3-yl]4-phenyl- [9C1] (CA INDEX NAME)

RN 208518-89-2 CAPIUS
CN 1-Piperazinecarboxamide, N-[5-(4-ethoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl(9C1) (CA INDEX NAME)

Page 80 08/20/2004

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-90-5 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-(3-bromophenyl)-1H-pyrazol-3-yl]-4-phenyl(SCI) (CA INDEX NAME)

RN 208518-91-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chlorophenyl)-N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]- (9C1) (CA INDEX NAME)

RN 208518-92-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-chlorophenyl)-N-[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-96-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-phenyl-N-[5-(4-pyridinyl)-1H-pyrazol-3-yl][9C1] (CA INDEX NAME)

RN 208518-97-2 CAPLUS
CN Urea, N'-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-N-methyl-N-[2-[(2-methylphenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 208518-98-3 CAPLUS
CN 1-Fiperazinecarboxamide, N-[5-(3-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl(9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-93-8 CAPLUS
CN 1-Piperazinecarboxamide, N-{5-(2-chlorophenyl)-1H-pyrazol-3-yl}-4-phenyl(9CI) (CA INDEX NAME)

RN 208518-94-9 CAPLUS CN 1-Piperazinecarboxamide, N-[5-[4-(methylthio)phenyl]-1H-pyrazol-3-yl]-4-phenyl- (9CI) (CA INDEX NAME)

RN 208518-95-0 CAPLUS
CN 1-Piperazinecarboxamide, N-[5-{1,3-benzodioxol-5-yl}-1H-pyrazol-3-yl]-4-phenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 208518-99-4 CAPLUS
CN 1-Fiperazinecarboxamide, N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-4-(2-methylphenyl) (SCI) (CA INDEX NAME)

RN 208519-00-0 CAPLUS
CN Urea, N-[5-(4-methoxypheny1)-1H-pyrazol-3-y1]-N'-[2-(phenylamino)ethyl](SCI) (CA INDEX NAME)

RN 208519-01-1 CAPLUS
CN 1-Piperazinecarboxamide, N-(5-[1,1'-biphenyl]-4-yl-1H-pyrazol-3-yl)-4-phenyl- (SCI) (CA INDEX NAME)

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L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

208519-02-2 CAPLUS
1-Fiperazinecarboxamide, N-[5-[3-(dimethylamino)-4-methoxyphenyl]-lH-pyrazol-3-yl]-4-penyl- (9CI) (CA INDEX NAME)

208519-03-3 CAPLUS
1-Fiperazinecarboxamide, 4-(4-hydroxyphenyl)-N-(5-(4-methoxyphenyl)-1H-pyrazol-3-yl)- (9Cl (CA INDEX NAME)

208519-04-4 CAPLUS
1(2H)-Fyridinecarboxamide, 3,6-dihydro-N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl-(9CI) (CA INDEX NAME)

16 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997;531751 CAPLUS
DOCUMENT NUMBER: 127;220346
TITLE: Gas-phase pyrolysis in heterocyclic synthesis.
Gas-phase plyrolysis in heterocyclic synthesis.
Gas-phase elimination reactions of some substituted aminoazoles
ANIHOR(S): Al-Awadi, Nouria A.; Elnagdi, Mohamed H.
CORFORATE SOURCE: Chemistry Department, Kuwait University, Safat, 13060, Kuwait
SOURCE: Heteroatom Chemistry (1997), 8(4), 293-297
COEDEN: HETCE8; ISSN: 1042-7163
PUBLISHER: Viley
DOCUMENT TYPE: Journal
LANGUAGE: Senglish
AB Gas-phase pyrolyses of Et N-(5-cyanomethyl-1,3,4-thiadiazol-3yl) carbamate, 1-benzoyl-3-(3-methyl) phracol-5-yl) thioures, 1-benzoyl-3-(5-methyl) source and 1-acetyl-3-(3phenylpyrazol-5-yl) thiourea were studied. That aeactions were homogeneous and unimol, and their Kinetics obeyed the 1st-order rate equation. Using this pyrolytic reaction in heterocyclic synthesis is considered, and mechanistic information was obtained from Kinetic data and product anal. using an online pyrolysis/9C-MS technique. The phys.
CONSIST Of A new substituted aminoazoles are also described.

IT 189048-73-8 (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PRC (Process); RACT (Reactant or reagent)
(Kinetics and mechanism of thermal elimination reactions of some substituted aminoazoles and gas-phase pyrolysis in heterocyclic synthesis)
RN 155048-73-8 CAPLUS
CN Ethamethioamide, N-[[(5-phenyl-1H-pyrazol-3-yl) amino]carbonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

208519-05-5 CAPLUS 1-Piperidinecathoxamide, N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-4-phenyl-(SCI) (CA INDEX NAME)

208519-06-6 CAPLUS
IH-1,4-Diazepine-1-carboxamide, hexahydro-N-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl)-4-phenyl- (SCI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1993:2383 CAPLUS

DOCUMENT NUMBER: TITLE:

PLUS COPYRIGHT 2004 ACS on STN
1993:2383 CAPLUS
118:2383
Growth regulatory effects of heterocyclic derivatives
of p-toluene- and p-chlorobenzene-sulfonylurea on
plant seeds
Kato, Motoyasus Obara, Yoshihikos Murata, Michio
Agr. Coll., Heijo Univ., Nagoya, Japan
Meijo Daigaku Nogakubu Gakujutsu Hokoku (1992), 28,
49-59

CORPORATE SOURCE:

SOURCE:

49-59
CODEN: MDNGBZ; ISSN: 0910-3376
DOCUMENT TYPE: Journal
LANGUAGE: Ajapanese
B The plant growth regulatory activities of some sulfonylurea compds.,
having a 4-substituted group in the aryl moiety, on 4 kinds of plants were
evaluated using a germination test. Sixty new p-toluenesulfonylurea and 8
new p-chlorobenzenesulfonylurea derivs. were synthesized from p-toluene or
p-chlorobenzenesulfonylurea derivs. were synthesized from p-toluene or
to the method of G. Levitt (1981). Relatively pure compds. were obtained
without any special purification techniques, and were subjected directly to

biol. activity tests. The p-toluenesulfonylurea derivs, were tested at the concens. of 500 ppm. The test revealed that many pyridine and pyrimidine derivs. had strong inhibitory activities. Most hetero-pentacyclic derivs. exhibited no inhibitory activities. Most promoted roots. The compds. with the promotive activities were among the hetero-pentacyclic derivs. Some p-toluenesulfonylurea compds. and new p-chlorobenzenesulfonylureas, which had the same heterocyclic skeleton, were also examined at the concens of 20, 100 and 500 ppm. Some p-toluenesulfonylureas and p-chlorobenzenesulfonylureas and p-chlorobenzenesulfonylurea showed potent inhibitory activities on the roots and shoots of lettuce.

14489-11-69
RL: AGR (Agricultural use), BAC (Biological activity or effector, except adverse), BSU (Biological study, unclassified), SPN (Synthetic preparation), BIOL (Biological study), PREF (Preparation), USES (Uses) (preparation and plant growth regulating activity of, concentration in the colline of the property of

(Prepared on the position of t

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	APLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:	1983:594955 CAPLUS
DOCUMENT NUMBER:	99:194955
TITLE:	Pyrazole derivatives and herbicidal compositions containing them
INVENTOR (5):	Seki, Nansho; Yamaguchi, Yuki; Nakamura, Yukihiro;
	Kubo, Hiroshi, Tsuruya, Tetsuo
PATENT ASSIGNEE(S):	Showa Denko K. K. , Japan
SOURCE:	Ger. Offen., 37 pp.
	CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	
PATENT NO.	KIND DATE APPLICATION NO. DATE

PATENT NO.	KIND	DATE	AP.	PLICATION NO.	DATE
DE 3305483	A1	19830825	DE	1983-3305483	19830217
JP 58144372	A2	19830827	JP	1982-23668	19820218
JP 03036833	B4	19910603			
JP 58144373	A2	19830827	JP	1982-23669	19820218
JP 03071424	B4	19911113			
JP 59084871	A2	19840516	JP	1982-194592	19821108
CH 653998	Α	19860131	CH	1983-827	19830215
CA 1194884	A1	19851008	CA	1983-421704	19830216
FR 2521557	A1	19830819	FR	1983-2669	19830218
AU 8311650	A1	19830825	ΑÜ	1983-11650	19830218
AU 547406	B2	19851017			
GB 2115416	Al	19830907	GB	1983-4627	19830218
GB 2115416	B2	19860305			
US 4501606	A	19850226	US	1983-467630	19830218
PRIORITY APPLN. INFO.:			JP	1982-23668	19820218
			JP	1982-23669	19820218
			JP	1982-194592	19821108

OTHER SOURCE(S): CASREACT 99:194955

Herbicidal pyrazoles I (R = H, Br, Cl) Rl = alkowy, alkenylowy, amino) (16 compds.) were prepared Thus, 125 g He3CCCCH2CR was cyclocondensed with 55 g N2Rk.H2O to give 131 g 3-amino-5-tert-butyl-1H-pyrazole. This (42 g) was cyclated with 34 g ClCC2Me to give 44 g I (R = H, Rl = OMe) (II). In prenand post-emergence tests, 10 kg II/ha gave complete kill of, e.g. 8784-81-98

87844-81-3F RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and herbicidal activity of)

L6 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
D975:443280 CAPLUS
SOCUMENT NUMBER:
B3:43280
S1TILE:
Mew synthesis of pyrazolo[1,5-a]-s-triazines
Vogel, Arnold; Troxler, Franz
Vogel, Arnold; Troxler, Franz
SOURCE:
CORPORATE SOURCE:
Pharm.-Dep., Sandoz A.-G., Basel, Switz.
DOCUMENT TYPE:
LANOUAGE:
COEN: HCACAV; ISSN: 0018-019X
JOURNAL
AND GERMAN
TOTHER SOURCE(S):
G1 For diagram(s), see printed CA Issue.
AF Pyrazolo[1,5-a]-s-triazines I and I (R1 - H, Me, R2 - Me, PhNH, MeO, HO
HS; R3 - H, CHO) were prepared by addition of AchCo to
S-amino-3-methylpyrazole
followed by hydrolysis to give N-(3-methyl-5-pyrazolyl)urea which cyclized
with MeC(DEL) for by condensation of aminoguanidine with
A-cxonitriles to give 1-amidino-5-aminopyrazole which was cyclized by
reaction with orthoesters, mixed anhydrides, isocyanides, or
carbonyldimidazole derive. Reaction of 4-aminopyrazole(1,5-a)-striazines with electrophiles gave substitution at position 8.

IT 56130-87-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and cycloaddn. reaction of, with orthoacetic acid ester)
RN 56130-87-1 CAPLUS
CN Urea, (5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

S6130-86-OP
RL: RCT (Reactant); SFN (synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)
56130-86-O CAPLUS
Acetamide, N-[[(5-methyl-1H-pyrazol-3-yl)amino]carbonyl]- (SCI) (CA INDEX NAME) ΙT

ANSWER 34 of 38 CAPLUS COFYRIGHT 2004 ACS on SIN (Continued) 87844-81-3 CAPLUS (CAPLUS (CAPLUS N°-[5-(1,1-dimethylethyl)-lH-pyrazol-3-yl)-N,N-diethyl- (9CI) (CARDEC NAME)

ΙT

87844-78-8P
RL: SPN (Synthetic preparation), PREP (Preparation)
(preparation, bromination, chlorination, and herbicidal activity of)
87844-78-8 CAPLUS
Urea, N°-(5-(1,1-dimethylathyl)-lH-pyrazol-3-yl]-N,N-dimethyl- (9CI) (CA
INDEX NAME)

ANSWER 36 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 1967:10875 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: 66:10875 66:10875
Pyrazole derivatives. III
Dymek, Wojciech, Janik, Boleslaw; Ryznerski, Zygmunt
Akad. Med., Cracow, Pol.
Acta Poloniae Pharmaceutica (1966), 23(3), 207-14
CODEN: APPHAX; ISSN: 0001-6837 AUTHOR (S): CORPORATE SOURCE: SOURCE: DOCUMENT TYPE:
JOURNAL APPHAX, ISSN: 0001-6837

DOCUMENT TYPE:
JOURNAL APPHAX, ISSN: 0001-6837

IANGUAGE:
Foliah CA Issue.

Foliah CA Issue.

GI For diagram(s), see printed CA Issue.

Synthesized for antibacterial screening, p-Clc6H4COCH2CN and 2.5 moles 80t N2M. H2O heated 1 hr. on a water bath yielded I (R = H), m. 170-1"

(H2O), hydrochloride m. 225-7" (EtOH-COH6), picrate m. 199-200" (ECOH). The following I were prepared by 2-hr. heating of I (R = H) with I mole acyl chloride in CHH5N or 1 mole isocyanate in EtOH (R and m.p. given); ho, 182-4" (ELOH), Br., 257-8" (ELOH), p-AcHHCGH4SO2, 260-1" (He2CO-C6H6); p-H2NCGH4SO2, 265-(CHG); p-H0C, 139-40" (dliute EcOH); 1-Cl0H7NNCO, 255-6" (HNCO-CC-CHG); p-H0C, 139-40" (dliute EcOH); 1-Cl0H7NNCO, (R = H) heated 1 (R = H) in EtOH refluxed 1 hr. with 1 mole appropriate aldehyde yielded II (R = m.p. given); o-C2NCGH4, 206-7" (ELOH); p-HCH1CH, 216" (CGH6); o-H0CGH4, 229-30" (CMGH6). I (R = H) heated 1.5 hrs. with 1 mole acont sterning to H0H9); in (R = H) heated 1.5 hrs. with 1 mole isochiocyanate in ECOH yielded IV (R and m.p. given); He, 221-2" (ELOH); Et, 214" (dliute EtOH); (HCHCHCH2, 201-2" (dliute EtOH); Pl., 199-200" (ELOH); O-H0CGH4, 205-6" and 220-4" (ELOH).

IT 13097-23-9* 13097-24-0* RLC (ELOH); PREPARATION)
(Preparation of)

RN 13097-23-9 CAPLUS
CN Urea, 1-[5-(p-chlorophenyl)pyrazol-3-yl]-3-phenyl- (8CI) (CA INDEX NAME) DOCUMENT TYPE: Journal Polish

13097-24-0 CAPLUS Urea, 1-[5-(p-chlorophenyl)pyrazol-3-yl]-3-(l-naphthyl)- (9CI) (CA INDEX NAME)

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L6 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L6 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L6 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1955:499286 CAPLUS
COCUMENT NUMBER: 63:99286
CRIGINAL REFERENCE NO.: 63:18066h,18067a-c
TITILE: Pyrazole derivatives. II
Pyrazole derivatives. II
Pyrazole derivatives. II
Pyrazole derivatives. II
Dymak, Wojcischi Janik, Boleslaw: Zimon, Romuald
CAPCRATE SOURCE: Akad. Med., Cracow
SOURCE: Akad. Med., Cracow
SOURCE: Akad. Med., Cracow
SOURCE: Act Polon. Pharm. (1965), 22(3), 209-17
JOURNAL TYPE: Journal J

RN 100027-16-5 CAPLUS
CN Urea, 1-[5(or 3)-(p-bromophenyl)pyrazol-3(or 5)-y1]-3-(1-haphthyl)- (7CI)
(CA INDEX NAME)

L6 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:58997 CAPLUS
DOCUMENT NUMBER: 62:58997
ORIGINAL REFERENCE NO.: 62:10428-d
TITLE: Pyrazole derivatives. I
AVTROR(S): Pyrazole derivatives. I
DYTROR(S): Pyrazole derivatives. I
DYTROR(S): Pyrazole derivatives. I
DOCUMENT TYPE: Journal
LANGUAGE: Acta Polon. Pharm. (1964), 21(2), 211-16
DOCUMENT TYPE: Journal
LANGUAGE: Polish
G1 For diagram(s), see printed CA Issue.
AB 3-Phenyl-5-(p-acetamido-phenylsulfonamido)pyrazole (II), m. 119-20',
was obtained by refluxing equimol. amts. of 3-phenyl-5-aminopyrazole (II),
p-acetamidobenzenesulfonyl chloride (III), and pyridine in anhydrous CHC13
for 1 hr. Refluxing I in 2N NAOH for 2 hrs. yielded 3-phenyl-5-(paminophenylsulfonamido)pyrazole, m. 241-2'. 3-Phenyl-4-bromo-5aminopyrazole-HBF (IV), m. 222-3', was obtained by adding dropwise,
at room temperature, 0.02 moles Br to 0.01 mole II in CHC13; the free base

92-3'. Heating equimolar amts. of III, IV, and pyridine in CHC13
for 1 hr. on a water bath yielded 3-phenyl-4-bromo-5-(pacetamidophenylsulfonamido)pyrazole, which refluxed 1 hr. in 2N NaOH
yielded 3-phenyl-4-bromo-5-(p-aminophenylsulfonamido)pyrazole, m.
213-14'. 3-Phenyl-5-chloroacetylaminopyrazole, m. 245-50',
was obtained by heating for 1 hr. 1.6 g. II in pyridine with 1.2 g.
chloroacetic acid. N-(3-Phenyl-5-pyrazolyl)-N'-phenylurea (m.
124-5'), N-(3-phenyl-5-pyrazolyl)-N'-phenylurea (m.
125-5'), N-(3-phenyl-5-pyrazolyl)-N'-phenylurea (m.
127-5-300' (decomposition)], and the «-naphthyl analog (m.
150-2') were obtained by heating for 1 hr. 1.6 g. II in EtOH with
1.2 g. Ph, B-naphthyl, or «-naphthyl isodyanate, resp. Heating
equimolar amts. II and isocyanates in EtOH for 1 hr. yielded the following
V (R and m.p. given): Hw. 219-21', Et. 207-9' CH2CHCH22,
186-8', Ph. 191-2' o-MecGH4, 209-11'. The
activity of the compds. against Toxoplasma gondii was studied.
97953-41-8 cAPLUS
CN Urea, 1-phenyl-3-[5(or 3)-phenylpyrazol-3(or 5)-yl](preparation of)
NAMED

RN 100546-05-2 CAPLUS
CN Urea, 1-(2-naphthy1)-3-[5(or 3)-phenylpyrazol-3(or 5)-yl]- (7CI) (CA INDEX NAME)

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L6 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 100546-06-3 CAPLUS CN Urea, 1-(1-naphthyl)-3-[5(or 3)-phenylpyrazol-3(or 5)-yl]- (7CI) (CA INDEX MAME)

CA SUBSCRIBER PRICE

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
186.16 367.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

-26.60

-26.60

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=> S 256529-50-7/RN

L7 1 256529-50-7/RN

=> SET NOTICE 1 DISPLAY

NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND SET COMMAND COMPLETED

=> D L7 SQIDE 1-

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L7 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 256529-50-7 REGISTRY
CN Ures, N-ethyl-N*-{5-{4-phenyl-5-(trifluoromethyl)-2-thienyl]-1H-pyrazol-3-yl]- (SCI) (CA INDEX NAME)
FS 3D CONCORD
FS 3D CONCORD
SR CL3 Client Services

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND SET COMMAND COMPLETED

=>

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
EUL I ECHTMANDO COCH	ENTRY	SESSION
FULL ESTIMATED COST	2.19	369.65
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-26.60

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=> S 256529-50-7/RN

L8 1 256529-50-7/RN

=> FIL CHEMCATS

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 0.42	SESSION 370.07
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -26.60

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FILE LAST UPDATED 14 AUGUST 2004 (20040814UP)

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=> SET NOTICE 1 DISPLAY

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=> SET LIN 80

SET COMMAND COMPLETED

=> S L8

L9 0 L8

=> D ALL 1-

L9 HAS NO ANSWERS

L8 1 SEA FILE=REGISTRY ABB=ON PLU=ON 256529-50-7/RN

L9 0 SEA FILE=CHEMCATS ABB=ON PLU=ON L8

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND SET COMMAND COMPLETED

=>

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 0.85	SESSION 370.92
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -26.60

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STRUCTURE FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9 DICTIONARY FILE UPDATES: 18 AUG 2004 HIGHEST RN 728239-10-9

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=> S 256529-50-7/RN

L10 1 256529-50-7/RN

=> FIL CHEMCATS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	371.34
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -26.60

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For details on recent updates in CHEMCATS, enter NEWS FILE at an arrow prompt. For the list of suppliers currently in the file, enter HELP SPA, HELP SPBC, HELP SPDH, HELP SPIN, HELP SPOP, and HELP SPQZ. For the list of current catalogs, enter HELP CTA, HELP CTBC, HELP CTDH, HELP CTIN, HELP CTOP, and HELP CTQZ.

This database is provided on an "as is" basis. Please consult the suppliers for current information regarding pricing, regional availability, available quantities, purities, etc. THERE ARE NO WARRANTIES OF ANY KIND, EITHER EXPRESSED OR IMPLIED. ACS is not liable for any loss of profit, goodwill or any other damages arising

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out of the use of this database.

CHEMCATS now contains more than 6 million records. See HELP CONTENT and NEWS FILE for details.

=> SET NOTICE 1 DISPLAY

NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND SET COMMAND COMPLETED

=> SET LIN 80

SET COMMAND COMPLETED

=> S L10

L11 0 L10

=> D RN CN PRICE COMP 1-

L11 HAS NO ANSWERS

L10L11

1 SEA FILE=REGISTRY ABB=ON PLU=ON 256529-50-7/RN

0 SEA FILE=CHEMCATS ABB=ON PLU=ON L10

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND SET COMMAND COMPLETED

=>

=> log y

COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 11.90	TOTAL SESSION 383.24
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY 0.00	SESSION -26.60

STN INTERNATIONAL LOGOFF AT 11:02:46 ON 20 AUG 2004